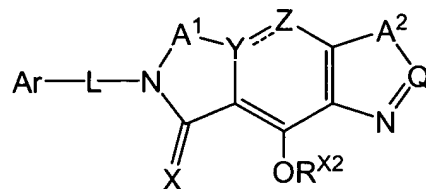


## Claims

1. (twice amended): A compound having the structure:

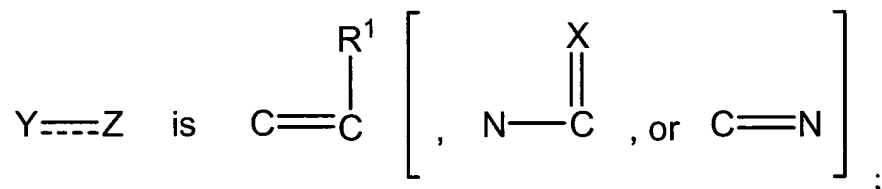


wherein:

$A^1$  [and  $A^2$  are] is independently selected from [O, S, NR,]  $C(R^2)_2$ ,  $CR^2OR$ ,  $CR^2OC(=O)R$ ,  $C(=O)$ ,  $C(=S)$ ,  $CR^2SR$ , and  $C(=NR)$ ,

$A^2$  is independently selected from  $C(R^2)_2-C(R^3)_2$ ,  $C(R^2)=C(R^3)$ ,  $[C(R^2)_2-O, NR-C(R^3)_2, N=C(R^3), N=N, SO_2-NR,]$  and  $C(=O)C(R^3)_2$ ,  $[C(=O)NR, C(R^2)_2-C(R^3)_2-C(R^3)_2, C(R^2)=C(R^3)-C(R^3)_2, C(R^2)C(=O)NR, C(R^2)C(=S)NR, C(R^2)=N-C(R^3)_2, C(R^2)=N-NR, and N=C(R^3)-NR];$

Q is [N,  $^+NR$ , or]  $CR^4$ ;



L is selected from a bond, O, S, S-S,  $S(=O)$ ,  $S(=O)_2$ ,  $S(=O)_2NR$ , NR, N-OR,  $C_1-C_{12}$  alkylene,  $C_1-C_{12}$  substituted alkylene,  $C_2-C_{12}$  alkenylene,  $C_2-C_{12}$  substituted alkenylene,  $C_2-C_{12}$  alkynylene,  $C_2-C_{12}$  substituted alkynylene,  $C(=O)NH$ ,  $OC(=O)NH$ ,  $NHC(=O)NH$ ,  $C(=O)$ ,  $C(=O)NH(CH_2)_n$ , or  $(CH_2CH_2O)_n$ , where n [may be] is optionally 1, 2, 3, 4, 5, or 6;

X is selected from O, S, NH, NR, N-OR, N-NR<sub>2</sub>, N-CR<sub>2</sub>OR and N-CR<sub>2</sub>NR<sub>2</sub>;

Ar is selected from (a) a  $C_3-C_{12}$  carbocycle,  $C_3-C_{12}$  substituted carbocycle,  $C_6-C_{20}$  aryl,  $C_6-C_{20}$  substituted aryl,  $C_2-C_{20}$  heteroaryl, and  $C_2-C_{20}$  substituted heteroaryl;

or (b) a saturated, unsaturated or aromatic ring or ring system having a mono- or bicyclic carbocycle or heterocycle containing 3 to 12 ring atoms;

$[R^1,]$   $R^2$ ,  $R^3$  and  $R^4$  are each independently selected from H, F, Cl, Br, I, OH,  $-NH_2$ ,  $-NH_3^+$ ,  $-NHR$ ,  $-NR_2$ ,  $-NR_3^+$ ,  $C_1-C_8$  alkylhalide, carboxylate, sulfate, sulfamate, sulfonate, 5-7

membered ring sultam, C<sub>1</sub>-C<sub>8</sub> alkylsulfonate, C<sub>1</sub>-C<sub>8</sub> alkylamino, 4-dialkylaminopyridinium, C<sub>1</sub>-C<sub>8</sub> alkylhydroxyl, C<sub>1</sub>-C<sub>8</sub> alkylthiol, -SO<sub>2</sub>R, -SO<sub>2</sub>Ar, -SOAr, -SAr, -SO<sub>2</sub>NR<sub>2</sub>, -SOR, -CO<sub>2</sub>R, -C(=O)NR<sub>2</sub>, 5-7 membered ring lactam, 5-7 membered ring lactone, -CN, -N<sub>3</sub>, -NO<sub>2</sub>, C<sub>1</sub>-C<sub>8</sub> alkoxy, C<sub>1</sub>-C<sub>8</sub> trifluoroalkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> substituted alkyl, C<sub>3</sub>-C<sub>12</sub> carbocycle, C<sub>3</sub>-C<sub>12</sub> substituted carbocycle, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, phosphate, and a prodrug moiety;

when taken together on a single carbon, two R<sup>2</sup> or two R<sup>3</sup> may form a spiro ring; [and]

R<sup>1</sup> is independently selected from CR<sub>3</sub>, NRSO<sub>2</sub>R, OC(=O)NR<sub>2</sub>, OC(=O)R, SR, H, F, Cl, Br, I, OH, -NH<sub>2</sub>, -NH<sub>3</sub><sup>+</sup>, -NHR, -NR<sub>2</sub>, -NR<sub>3</sub><sup>+</sup>, C<sub>1</sub>-C<sub>8</sub> alkylhalide, carboxylate, sulfate, sulfamate, sulfonate, 5-7 membered ring sultam, C<sub>1</sub>-C<sub>8</sub> alkylsulfonate, C<sub>1</sub>-C<sub>8</sub> alkylamino, 4-dialkylaminopyridinium, C<sub>1</sub>-C<sub>8</sub> alkylhydroxyl, C<sub>1</sub>-C<sub>8</sub> alkylthiol, -SO<sub>2</sub>R, -SO<sub>2</sub>Ar, -SOAr, -SAr, -SO<sub>2</sub>NR<sub>2</sub>, -SOR, -CO<sub>2</sub>R, -C(=O)NR<sub>2</sub>, 5-7 membered ring lactam, 5-7 membered ring lactone, -CN, -N<sub>3</sub>, -NO<sub>2</sub>, C<sub>1</sub>-C<sub>8</sub> alkoxy, C<sub>1</sub>-C<sub>8</sub> trifluoroalkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> substituted alkyl, C<sub>3</sub>-C<sub>12</sub> carbocycle, C<sub>3</sub>-C<sub>12</sub> substituted carbocycle, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, phosphate, and a prodrug moiety;

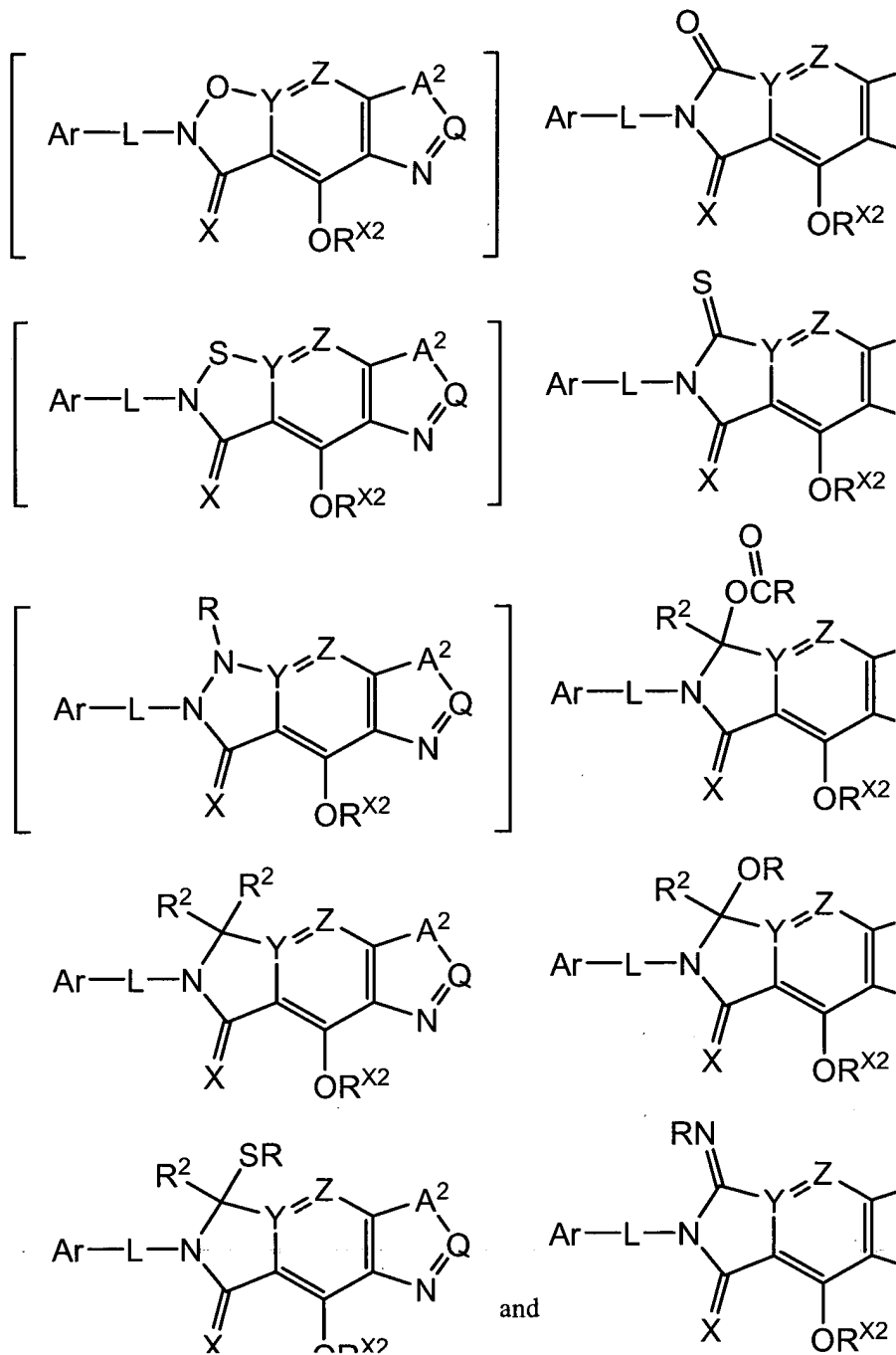
R is independently selected from H, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> substituted alkyl, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, phosphate, and a prodrug moiety;

R<sup>X2</sup> is independently selected from H, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> substituted alkyl, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, phosphate, [a prodrug, a pharmaceutically acceptable prodrug,] a prodrug moiety, and a protecting group[, and a phosphonate prodrug moiety];

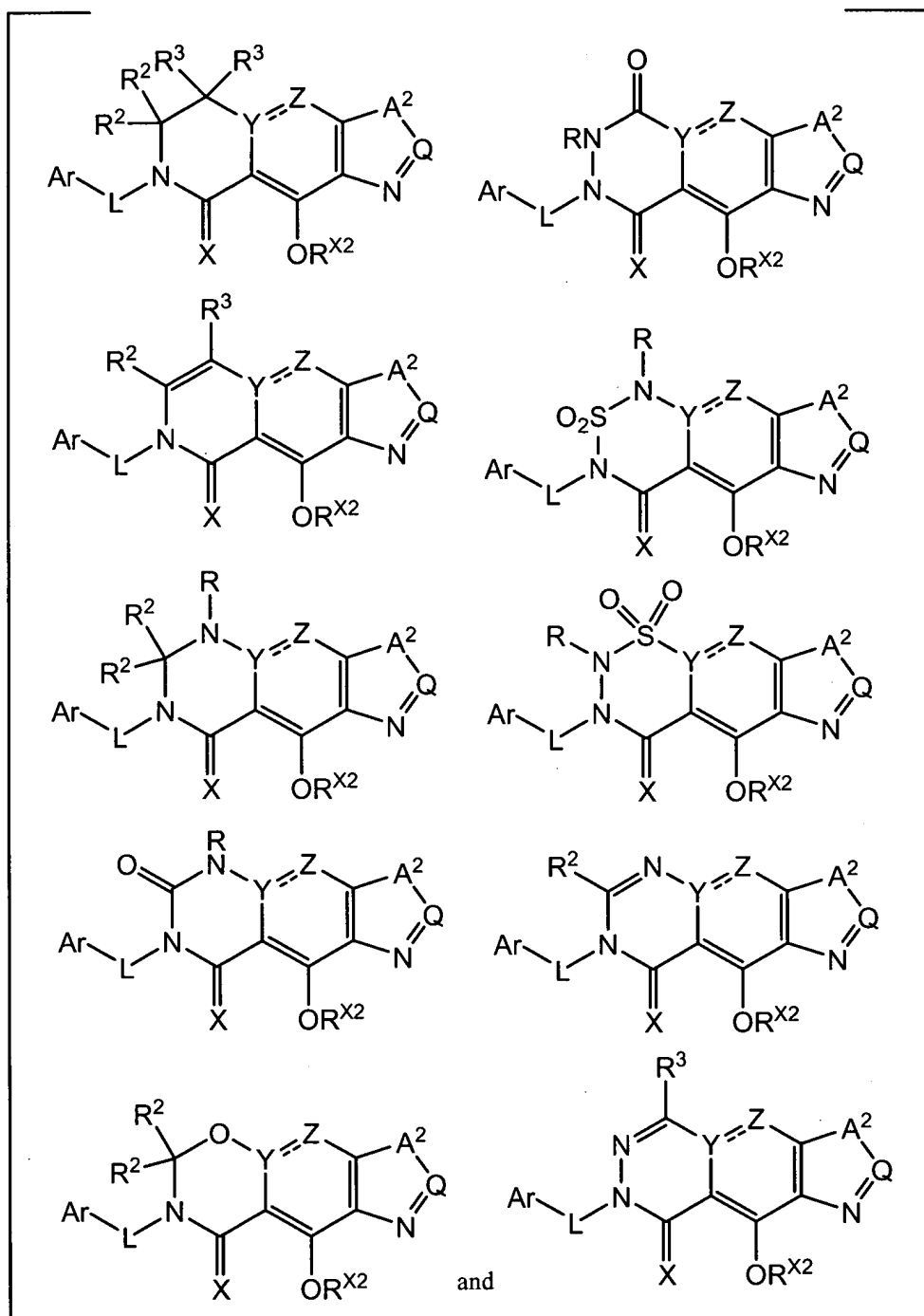
and the tautomers, salts, solvates, resolved enantiomers and purified diastereomers thereof;

with the proviso that when Y=Z is C=C(OH), X is O, A<sup>1</sup> is C(=O), A<sup>2</sup> is C(R<sup>2</sup>)=C(R<sup>3</sup>), and Q is CH, then L is not a bond.

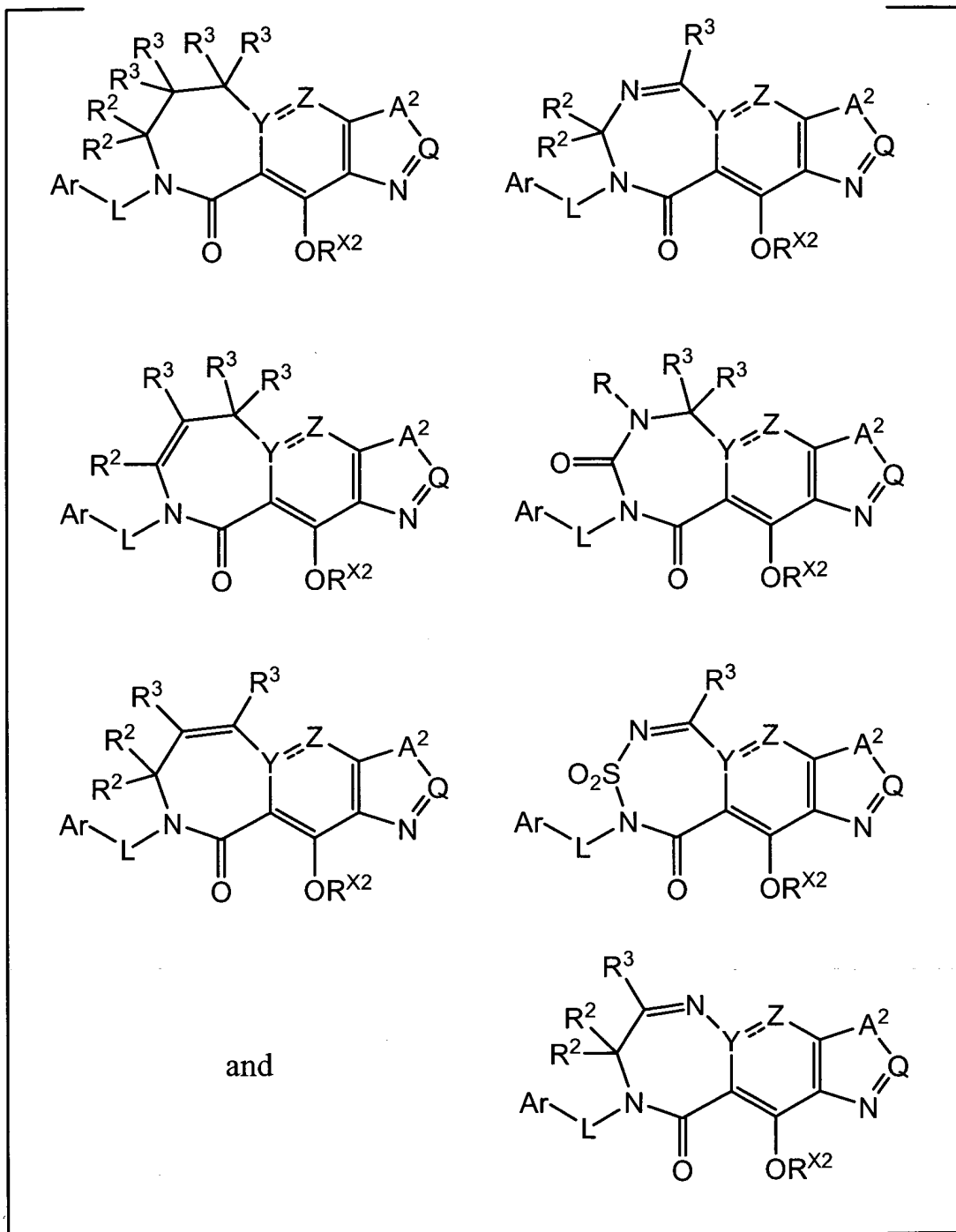
2. (once amended): A compound of claim 1 selected from the structures:



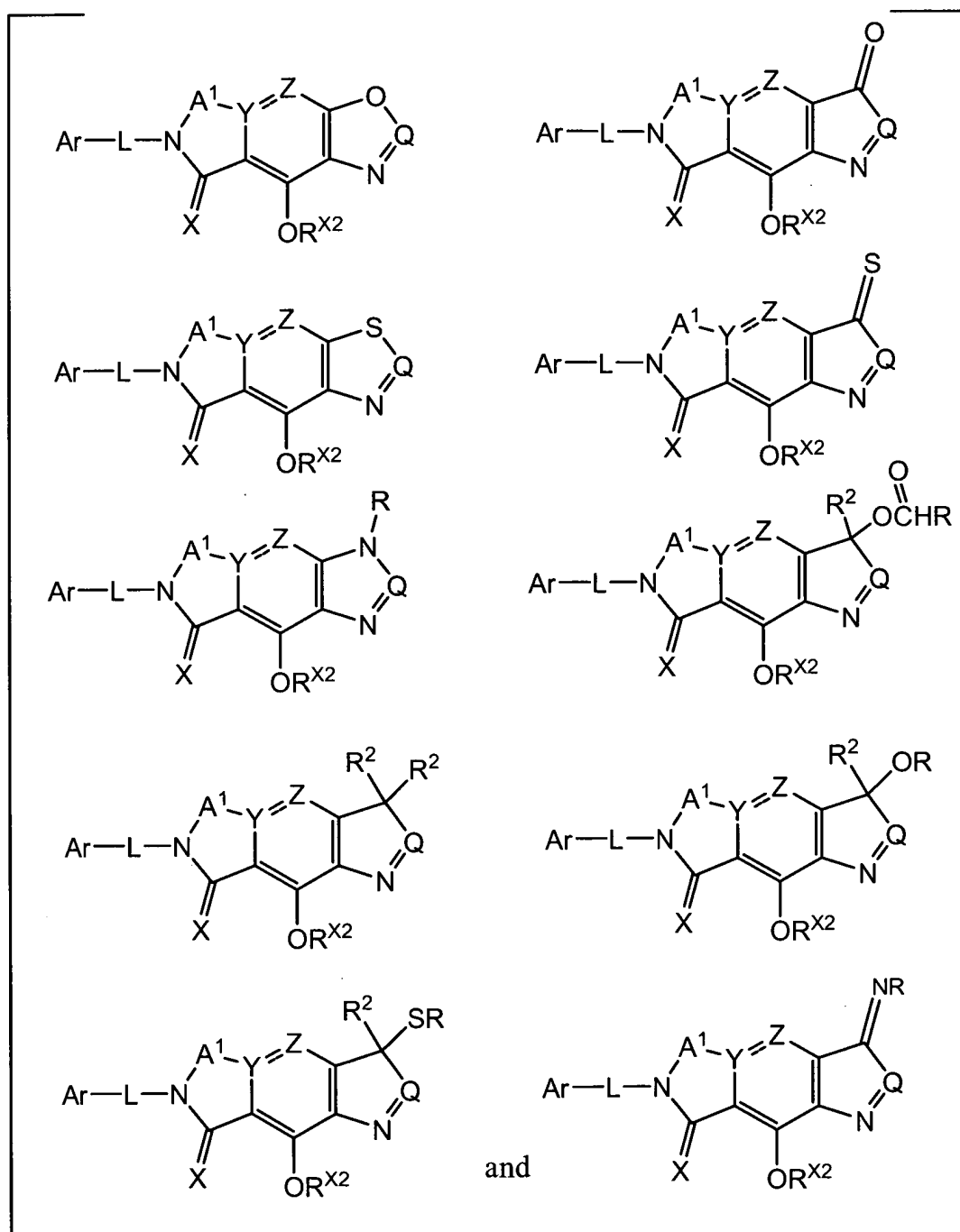
3. (once amended): A compound of claim 1 [selected from the structures:] wherein  
A<sup>1</sup> is CH<sub>2</sub>.



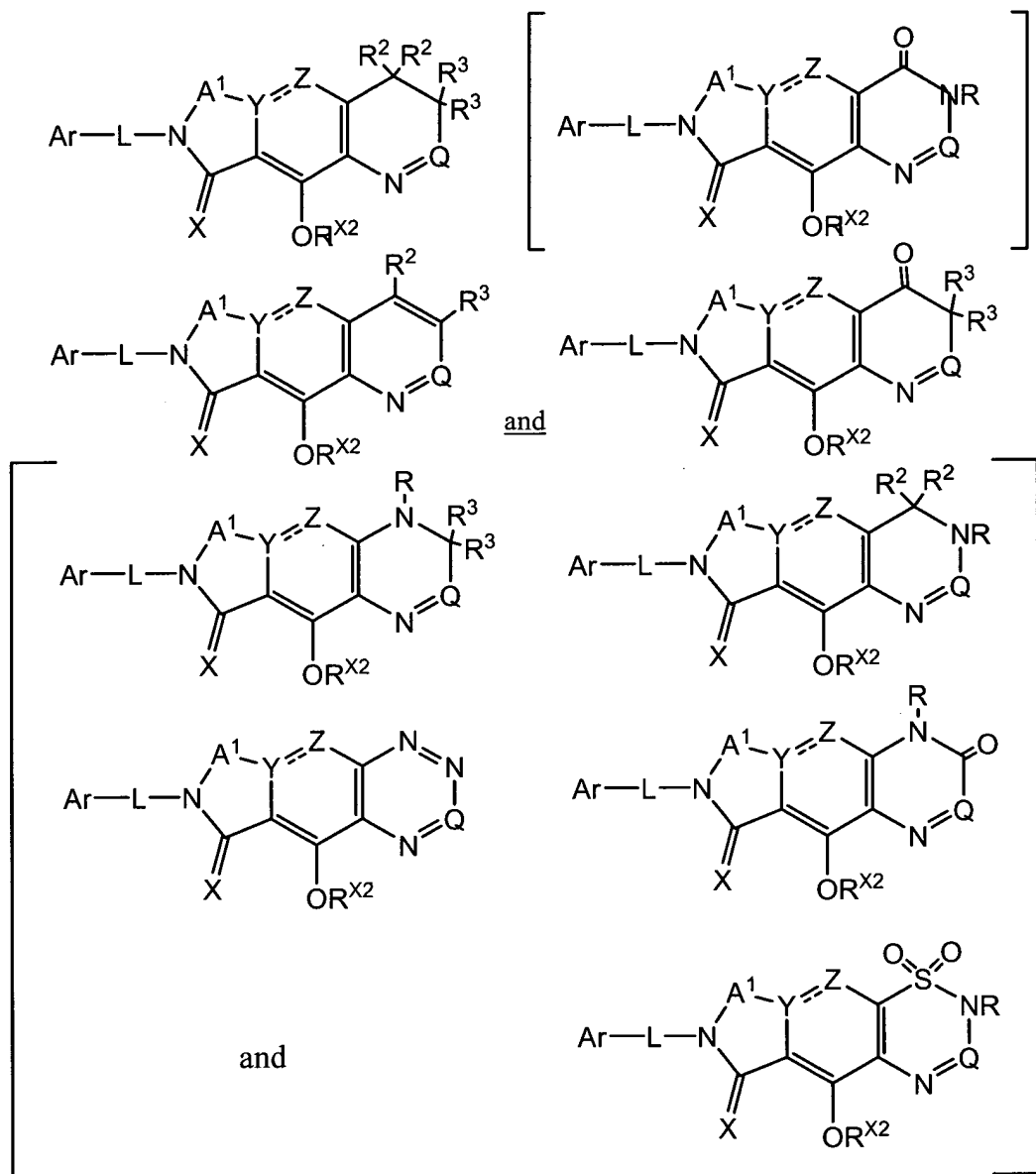
4. (once amended): A compound of claim 1 [selected from the structures:] wherein  
Ar is a saturated, unsaturated or aromatic ring or ring system having a mono- or bicyclic  
carbocycle or heterocycle containing 3 to 12 ring atoms.



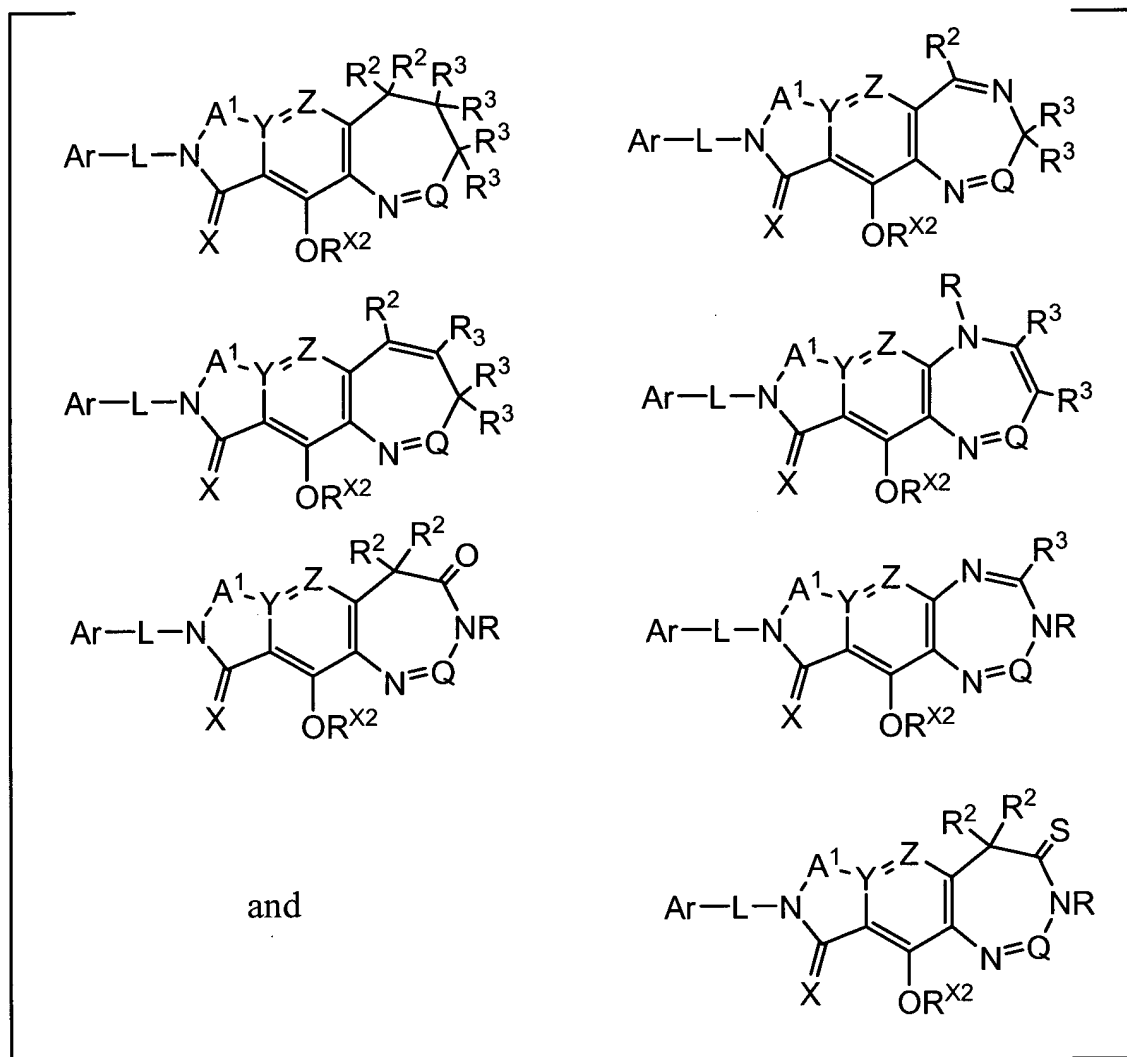
5. (once amended): A compound of claim 1 [selected from the structures:] wherein  
R<sup>1</sup> is selected from R, OR, NR<sub>2</sub>, NHR, NHSO<sub>2</sub>R and NRSO<sub>2</sub>R;



6. (once amended): A compound of claim 1 selected from the structures:

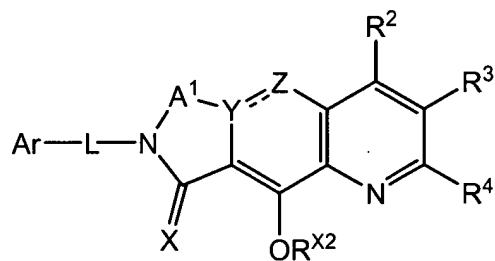


7. (once amended): A compound of claim 1 [selected from the structures:] wherein  $R^{x2}$  is a protecting group selected from the group consisting of benzyhydryl ( $\text{CHPh}_2$ ), trialkylsilyl ( $\text{R}_3\text{Si}$ ), 2-trimethylsiloxyethyl, alkoxymethyl ( $\text{CH}_2\text{OR}$ ), and ester ( $\text{C}(=\text{O})\text{R}$ ).

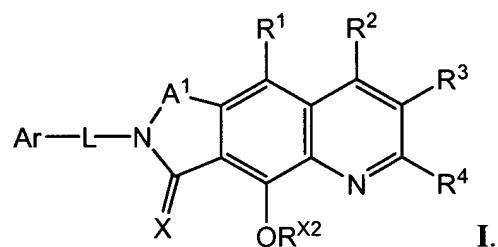




8. (once amended): A compound of claim [6] 1 having the structure:

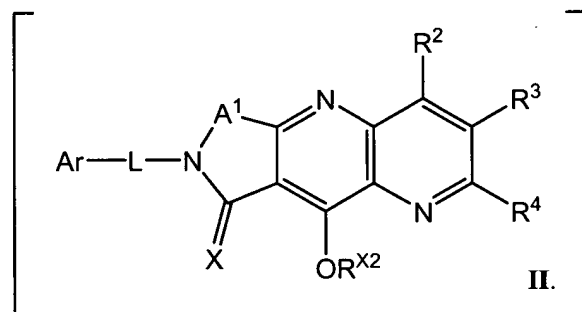


9. (once amended): A compound of claim [6] 1 having Formula I:

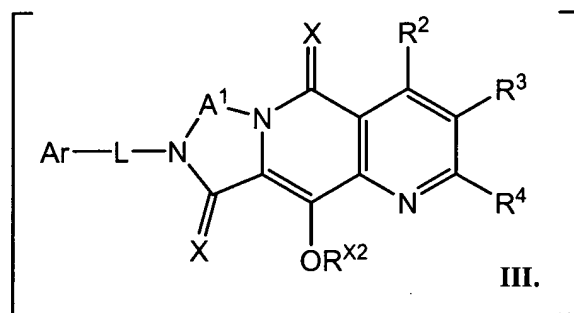


wherein R<sup>x2</sup> is H and X is O.

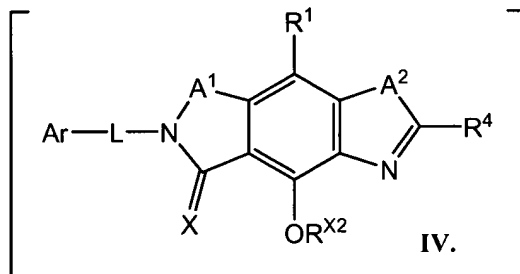
10. (once amended): A compound of claim [6 having Formula II:] 1 wherein L is not a bond.



11. (once amended): A compound of claim [6 having Formula III:] 1 wherein R<sup>4</sup> is H.



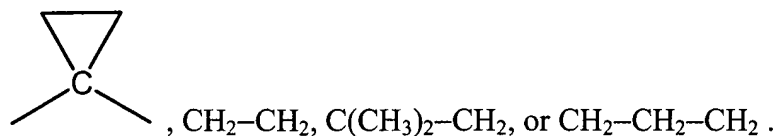
12. (once amended): A compound of claim 1 [having Formula IV:] wherein Ar is C<sub>6</sub>-C<sub>20</sub> substituted aryl.



13. (once amended): A compound of claim 1 having [comprising] at least one phosphonate group.

14. (previously presented): A compound of claim 1 wherein substituted alkyl, substituted alkylene, substituted alkyenylene, substituted alkynylene, substituted carbocycle, substituted aryl, and substituted heteroaryl are independently substituted with one or more substituents selected from F, Cl, Br, I, OH, -NH<sub>2</sub>, -NH<sub>3</sub><sup>+</sup>, -NHR, -NR<sub>2</sub>, -NR<sub>3</sub><sup>+</sup>, C<sub>1</sub>-C<sub>8</sub> alkylhalide, carboxylate, sulfate, sulfamate, sulfonate, 5-7 membered ring sultam, C<sub>1</sub>-C<sub>8</sub> alkylsulfonate, C<sub>1</sub>-C<sub>8</sub> alkylamino, 4-dialkylaminopyridinium, C<sub>1</sub>-C<sub>8</sub> alkylhydroxyl, C<sub>1</sub>-C<sub>8</sub> alkylthiol, -SO<sub>2</sub>R, -SO<sub>2</sub>Ar, -SOAr, -SAr, -SO<sub>2</sub>NR<sub>2</sub>, -SOR, -CO<sub>2</sub>R, -C(=O)NR<sub>2</sub>, 5-7 membered ring lactam, 5-7 membered ring lactone, -CN, -N<sub>3</sub>, -NO<sub>2</sub>, C<sub>1</sub>-C<sub>8</sub> alkoxy, C<sub>1</sub>-C<sub>8</sub> trifluoroalkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>3</sub>-C<sub>12</sub> carbocycle, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, polyethyleneoxy, phosphonate, phosphate, and a prodrug moiety.

15. (previously presented): The compound of claim 1 wherein A<sup>1</sup> is CH<sub>2</sub>, C(CH<sub>3</sub>)<sub>2</sub>,



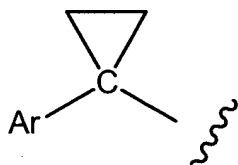
16. (previously presented): The compound of claim 9 wherein X is O; L is CH<sub>2</sub>; and Ar is substituted phenyl.

17. (previously presented): The compound of claim 16 wherein Ar is 4-fluorophenyl.

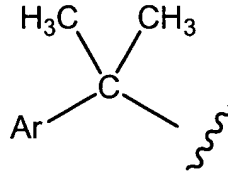
18. (previously presented): The compound of claim 9 wherein X is O; and R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are each H.

19. (previously presented): The compound of claim 9 wherein X is O; A<sup>1</sup> is CH<sub>2</sub>; and R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are each H.

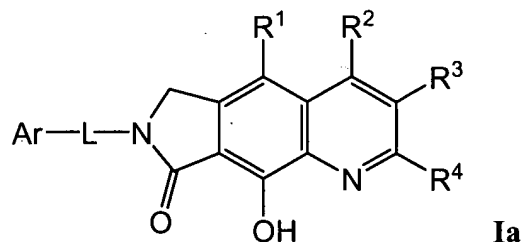
20. (previously presented): The compound of claim 1 wherein Ar-L is selected from the structures:

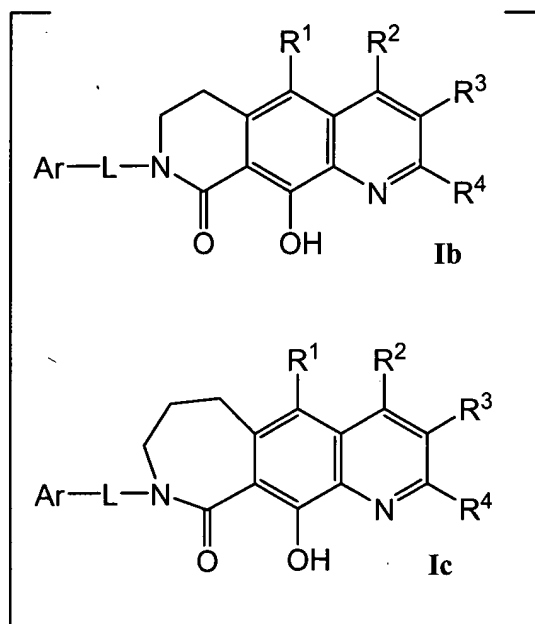


and

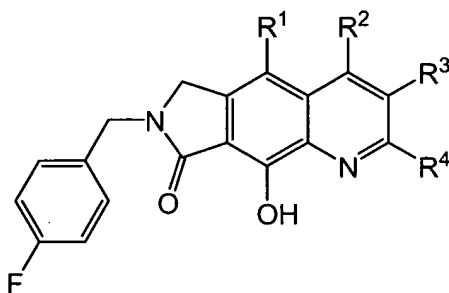


21. (once amended): A compound of claim 9 having [comprising] Formula Ia[, Ib, or Ic]:

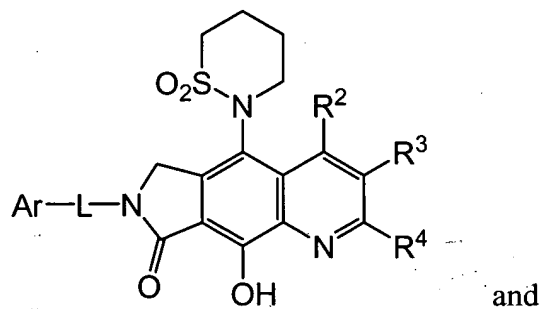


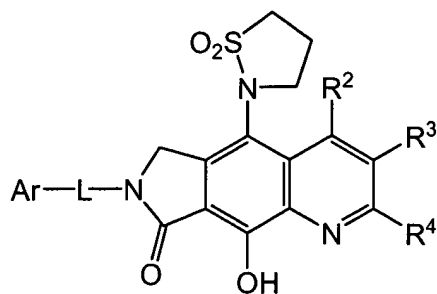


22. (previously presented): A compound of claim 9 having the structure:

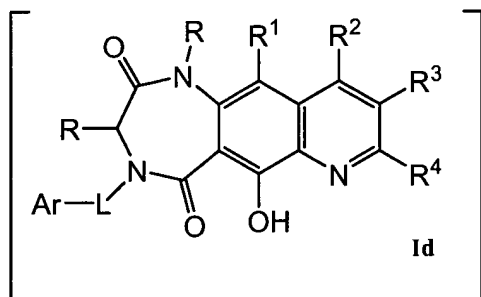


23. (previously presented): A compound of claim 22 selected from the structures:

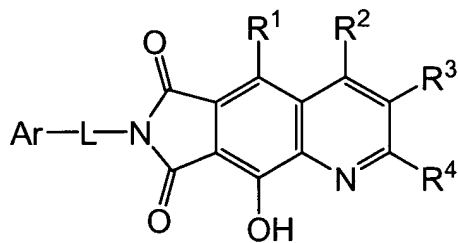




24. (once amended): A compound of claim 9 [having Formula Id:] wherein AR-L is para-fluorobenzyl.

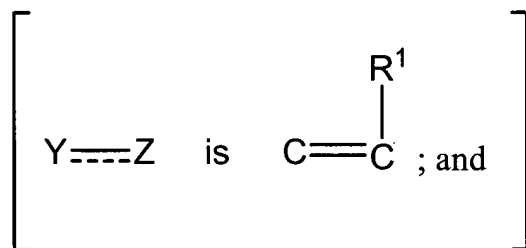


25. (previously presented): A compound of claim 9 having the structure:



with the proviso that when R<sup>1</sup> is OH, and R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> are H, then L is not a bond.

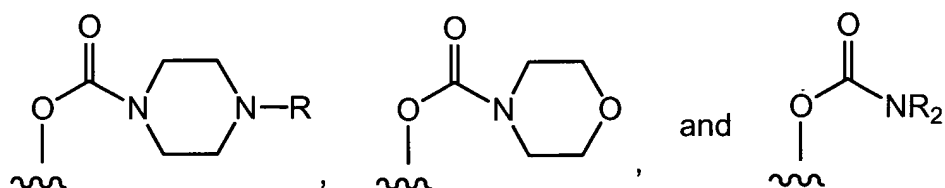
26. (once amended): A compound of claim 1 wherein



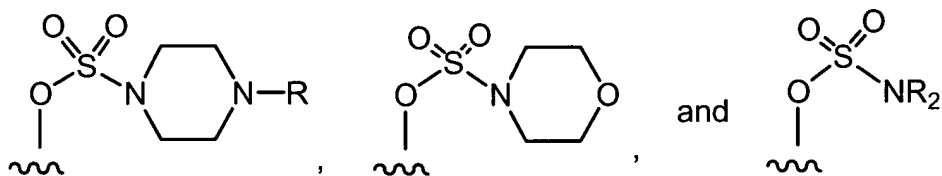
$R^1$  is selected from  $CR_3$ ,  $C(=O)NR_2$ ,  $OC(=O)OR$ ,  $OC(=O)NR_2$ ,  $OC(=O)R$ ,  $OSO_2NR_2$  (sulfamate),  $NR_2$ ,  $NRSO_2R$ ,  $SR$ ,  $S(O)R$ ,  $SO_2R$  and [or]  $SO_2NR_2$  (sulfonamide).

27. (previously presented): The compound of claim 26 wherein at least one  $R$  is [comprises] a prodrug moiety.

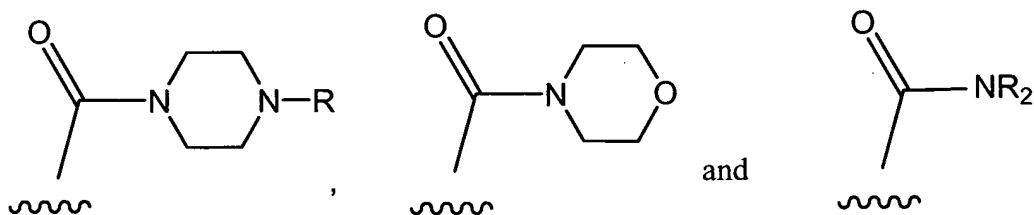
28. (previously presented): A compound of claim 1 wherein at least one of  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  is selected from the structures:



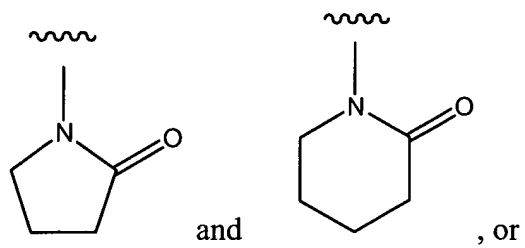
29. (previously presented): A compound of claim 1 wherein at least one of  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  is selected from the structures:



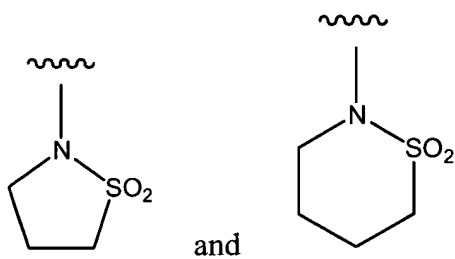
30. (previously presented): A compound of claim 1 wherein at least one of  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  is selected from the structures:



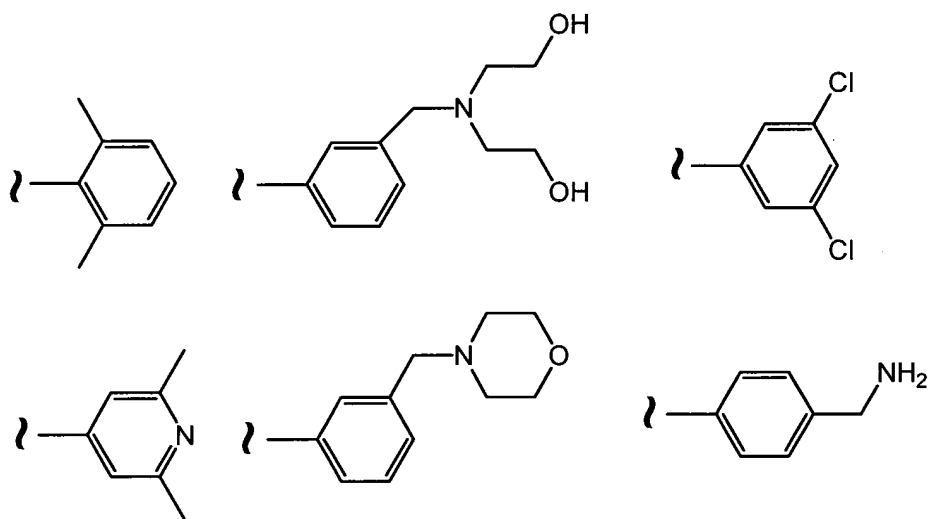
31. (previously presented): A compound of claim 1 wherein at least one of  $R^1$ ,  $R^2$ ,  $R^3$ , and  $R^4$  [comprise] is a lactam having the structures:

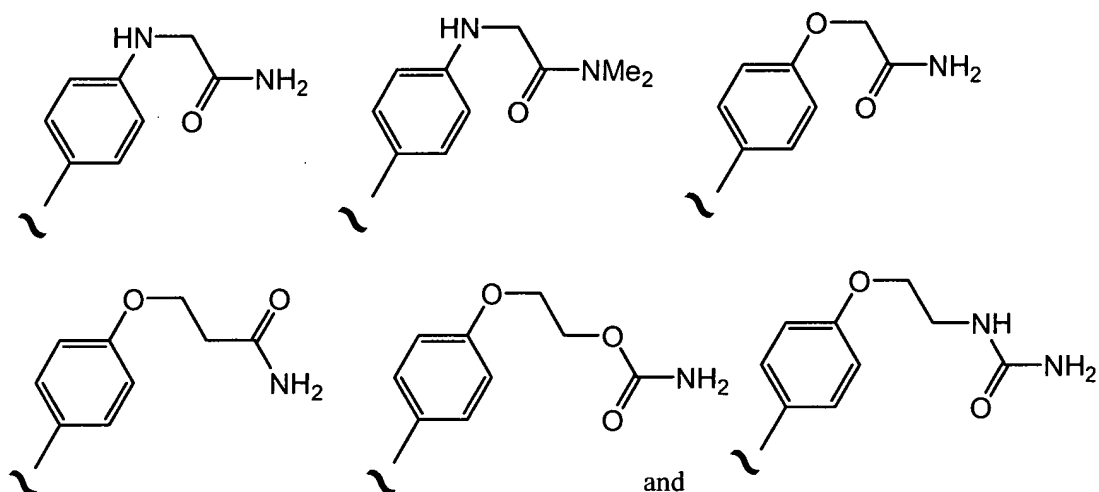


a sultam having the structures:



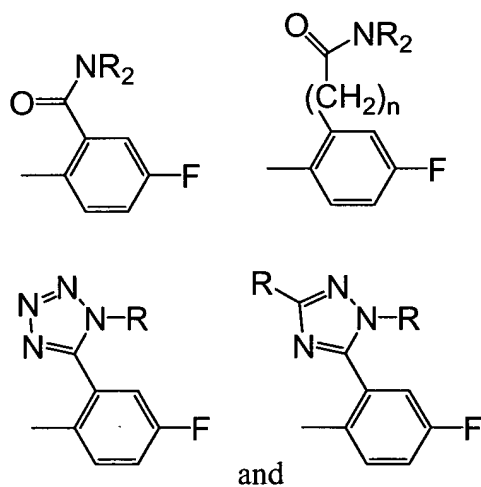
32. (previously presented): A compound of claim 1 wherein Ar is selected from the structures:





where the wavy line indicates the covalent attachment site to L.

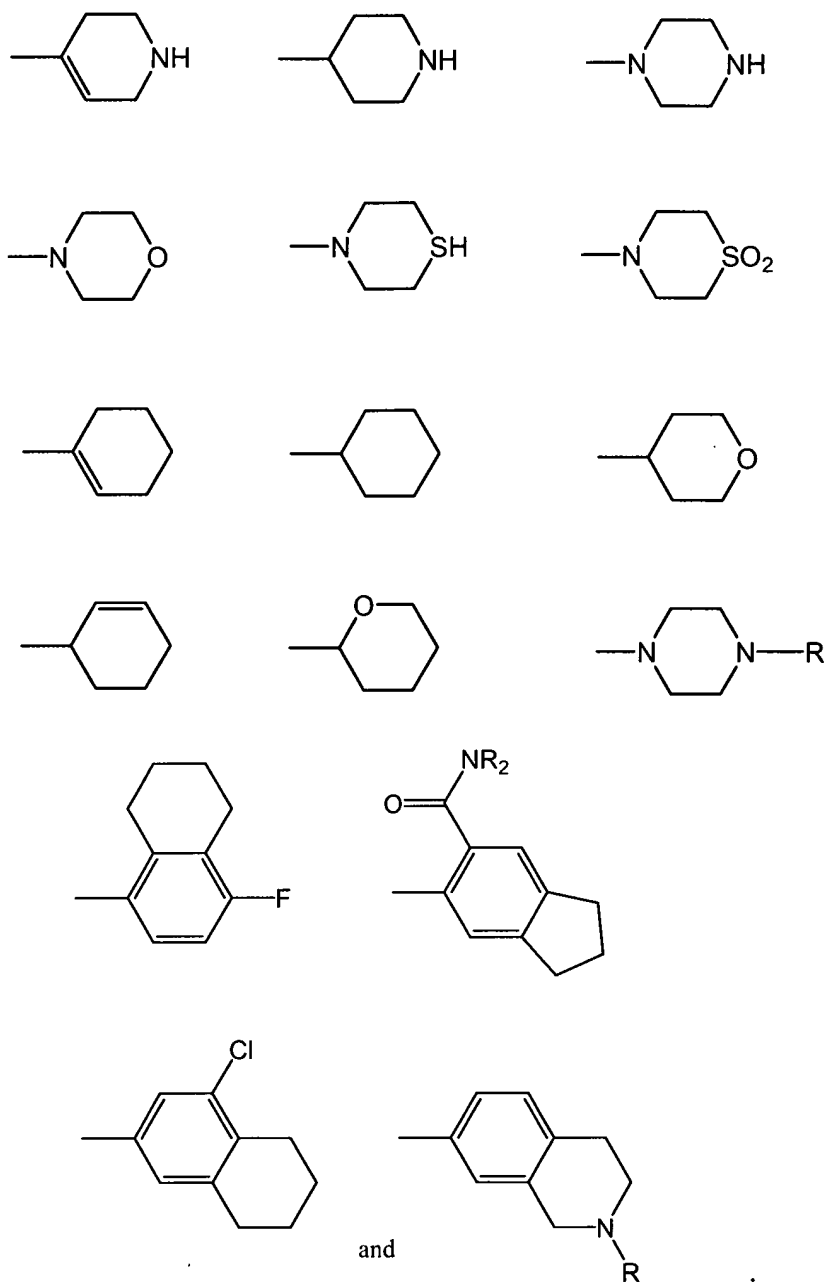
33. (previously presented): A compound of claim 1 wherein Ar is selected from the structures:



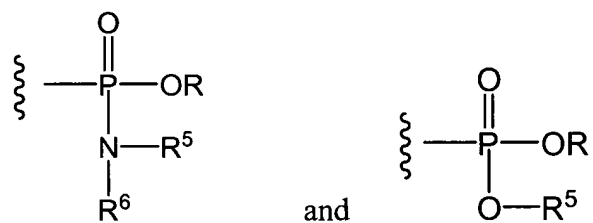
where n is 1 to 6.

34. (previously presented): A compound of claim 1 wherein Ar is selected from the structures:



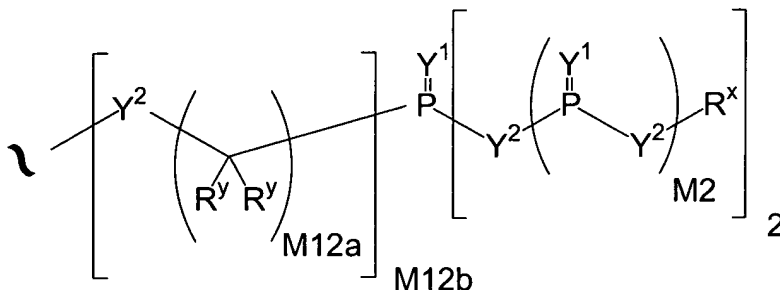


35. (previously presented): A compound of claim 1 comprising a prodrug moiety selected from the structures:



wherein  $R^5$  is  $-CR_2CO_2R^7$  where  $R^6$  and  $R^7$  are independently H or  $C_1-C_8$  alkyl.

36. (previously presented): The compound of claim 1 comprising a phosphonate or prodrug moiety having the structure:



wherein:

$Y^1$  is independently O, S,  $N(R^x)$ ,  $N(O)(R^x)$ ,  $N(OR^x)$ ,  $N(O)(OR^x)$ , or  $N(N(R^x)_2)$ ;

$Y^2$  is independently a bond, O,  $N(R^x)$ ,  $N(O)(R^x)$ ,  $N(OR^x)$ ,  $N(O)(OR^x)$ ,  $N(N(R^x)_2)$ ,  $-S(O)-$  (sulfoxide),  $-S(O)_2-$  (sulfone),  $-S-$  (sulfide), or  $-S-S-$  (disulfide);

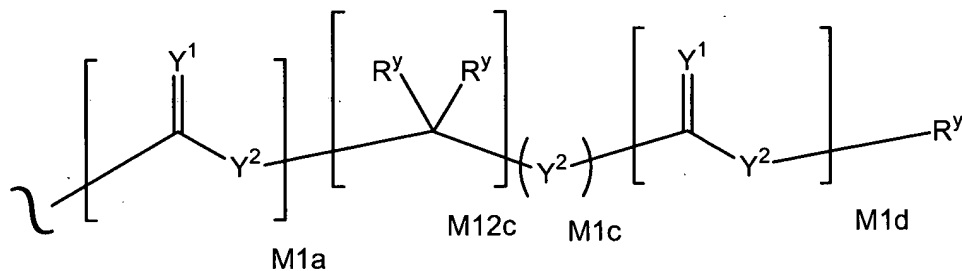
M2 is 0, 1 or 2;

M12a is 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, or 12;

M12b is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, or 12;

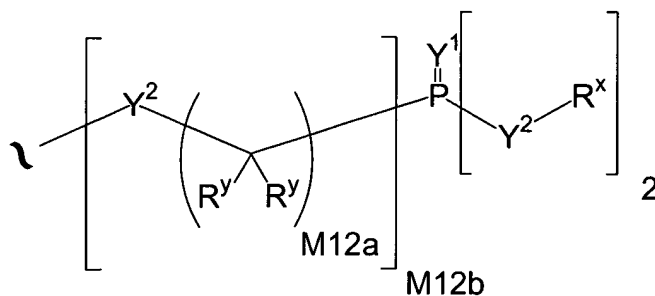
$R^y$  is independently H,  $C_1-C_6$  alkyl,  $C_1-C_6$  substituted alkyl,  $C_6-C_{20}$  aryl,  $C_6-C_{20}$  substituted aryl, or a protecting group, or where taken together at a carbon atom, two vicinal  $R^y$  groups form a carbocycle or a heterocycle; and

$R^x$  is independently H,  $C_1-C_6$  alkyl,  $C_1-C_6$  substituted alkyl,  $C_6-C_{20}$  aryl,  $C_6-C_{20}$  substituted aryl, or a protecting group, or the formula:

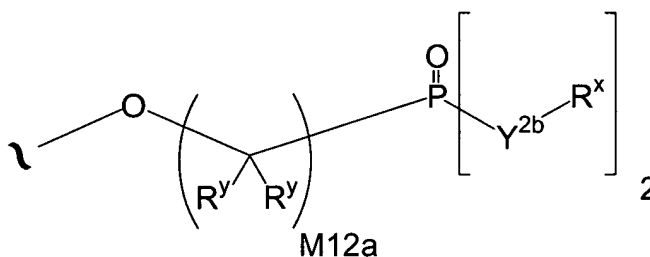


where M1a, M1c, and M1d are independently 0 or 1, and M12c is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12.

37. (previously presented): The compound of claim 36 wherein the phosphonate or prodrug moiety has the structure:

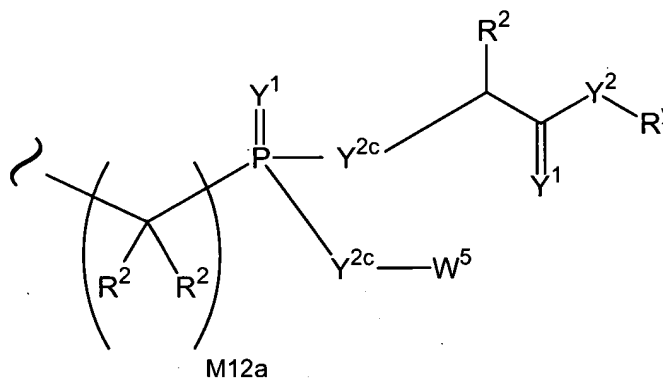


38. (previously presented): The compound of claim 37 wherein the phosphonate or prodrug moiety has the structure:



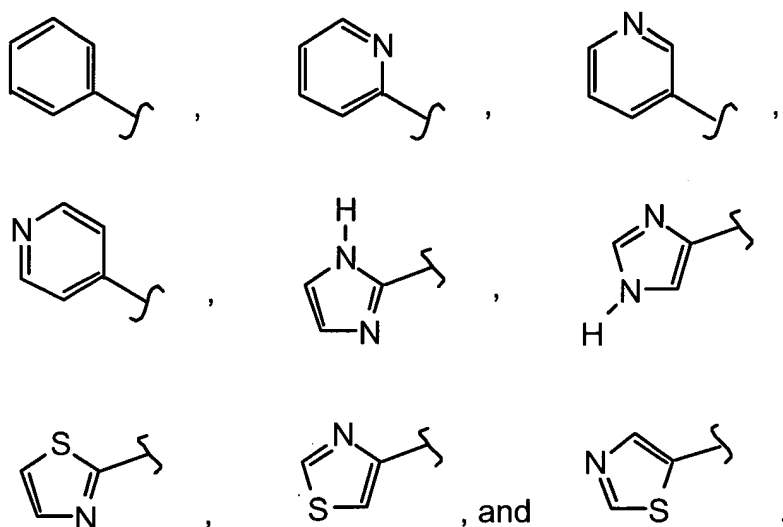
where  $Y^{2b}$  is O or  $N(R^x)$ .

39. (previously presented): The compound of claim 37 wherein the phosphonate or prodrug moiety has the structure:

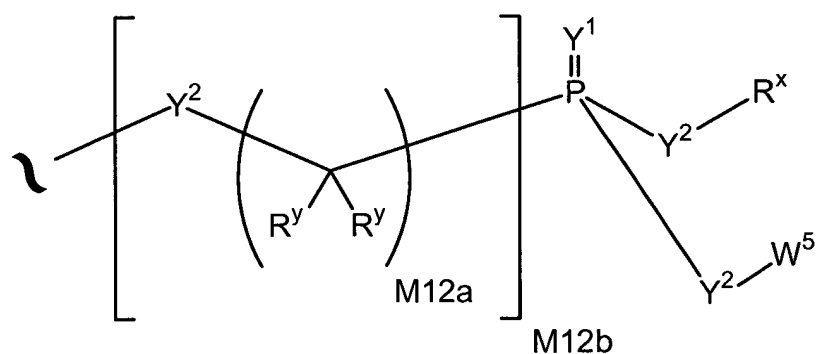


where  $W^5$  is a carbocycle, and  $Y^{2c}$  is O,  $N(R^y)$  or S.

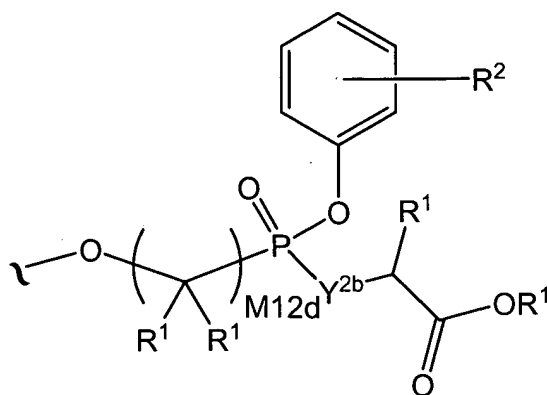
40. (previously presented): The compound of claim 39 wherein  $W^5$  is selected from the structures:



41. (previously presented): The compound of claim 37 wherein the phosphonate or prodrug moiety has the structure:



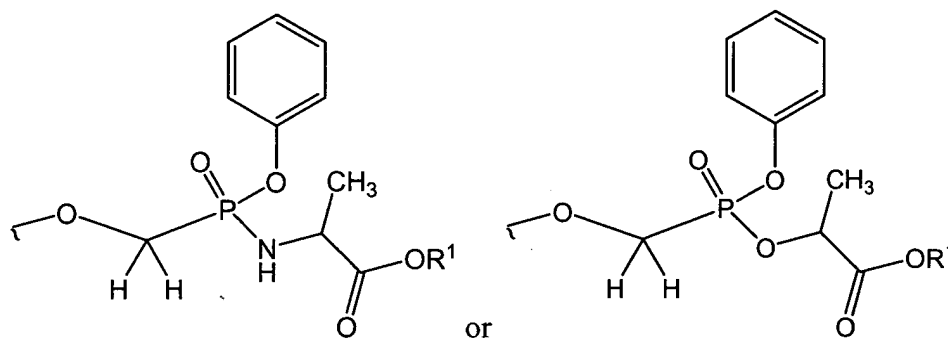
42. (once amended): The compound of claim 1 [41] wherein the phosphonate or prodrug moiety has the structure:



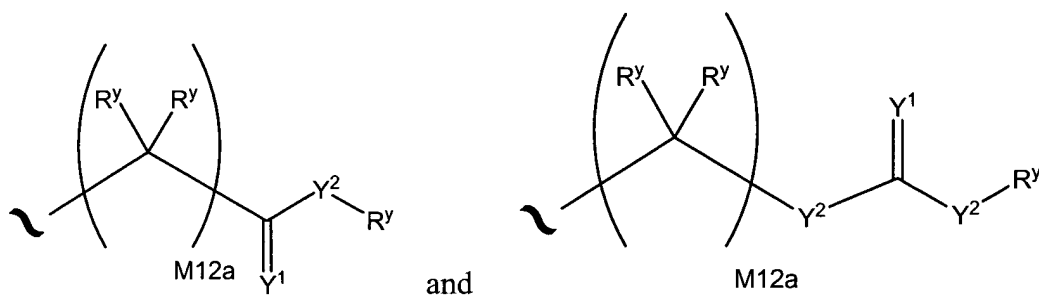
wherein  $Y^{2b}$  is O or  $N(R^x)$ ; M12d is 1, 2, 3, 4, 5, 6, 7 or 8;  $R^1$  is H or  $C_1-C_6$  alkyl; and the phenyl carbocycle is substituted with 0 to 3  $R^2$  groups where  $R^2$  is  $C_1-C_6$  alkyl or substituted

alkyl.

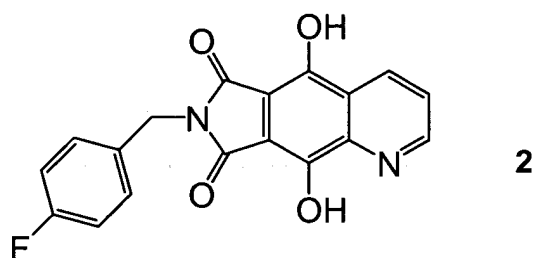
43. (once amended): The compound of claim 1 [42] wherein the phosphonate or prodrug moiety has the structure:

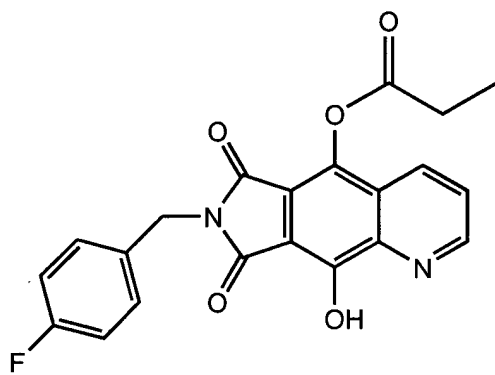


44. (previously presented): The compound of claim 36 wherein R<sup>x</sup> is selected from the structures:

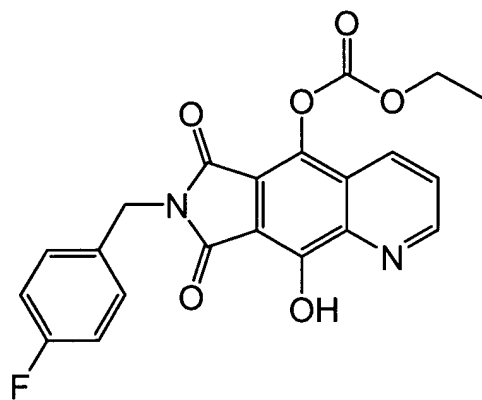


45. (once amended): A compound of [claim 9] selected from the structures:

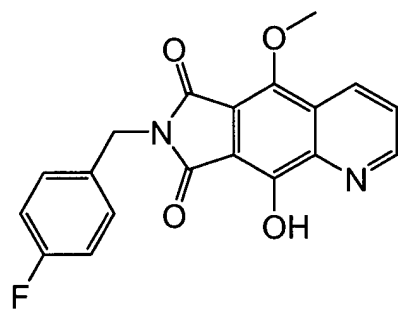




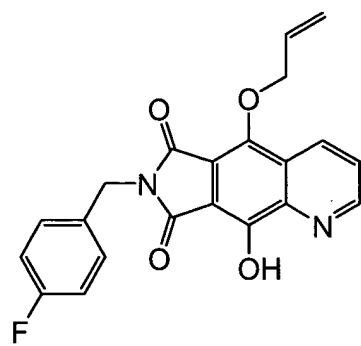
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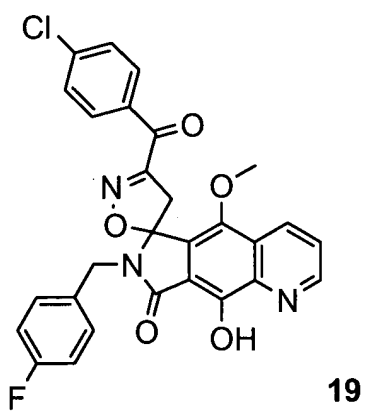
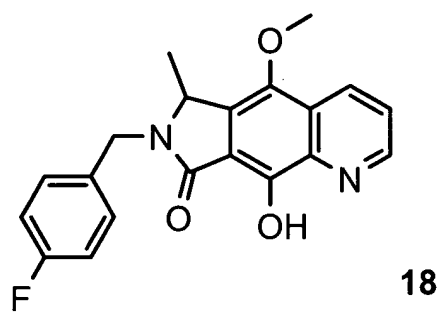
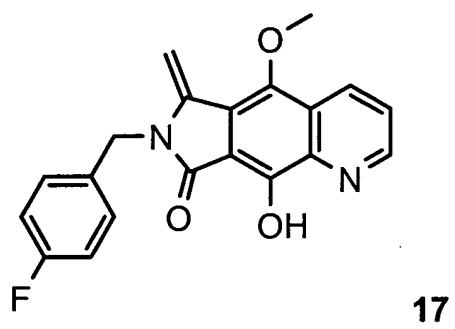
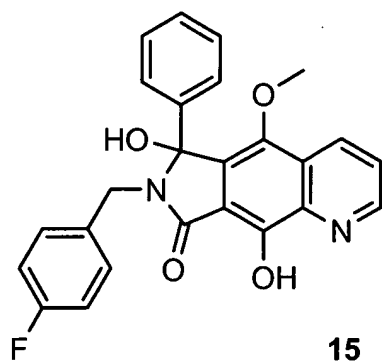
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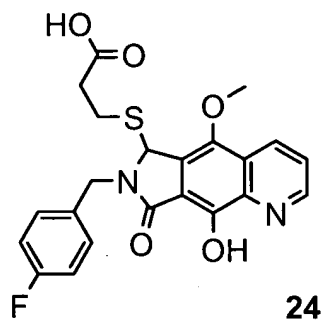
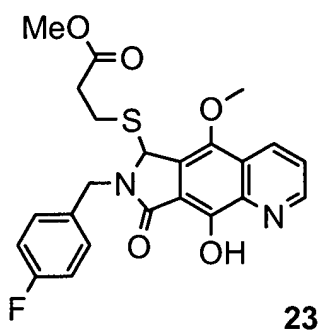
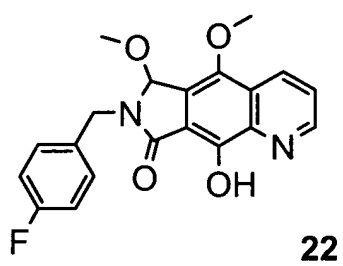
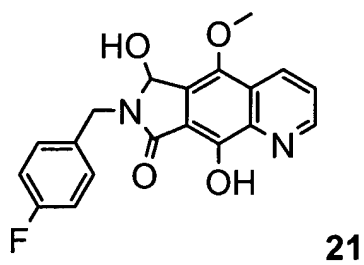


9



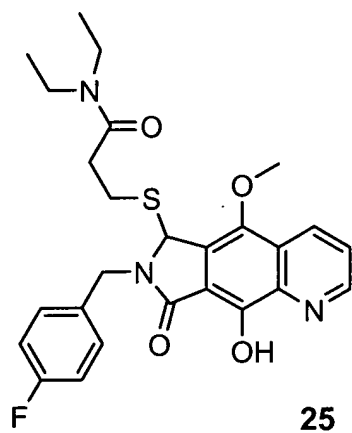
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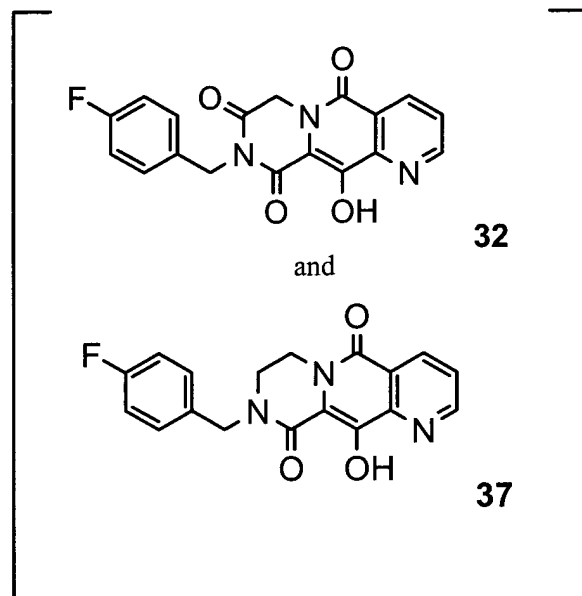


and

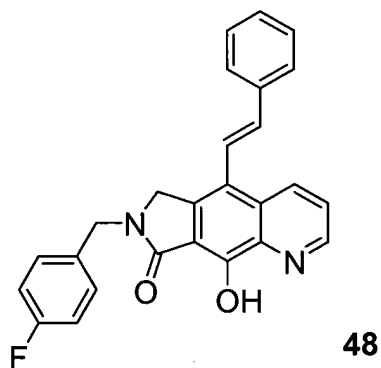


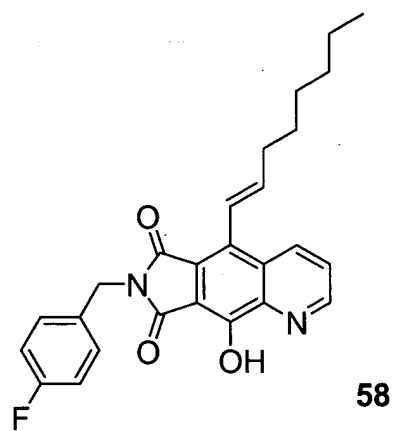
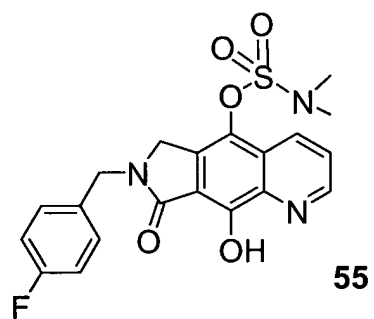
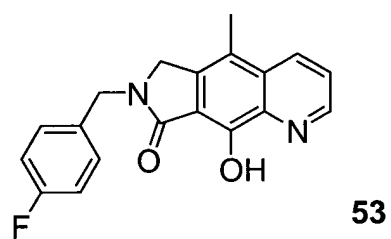
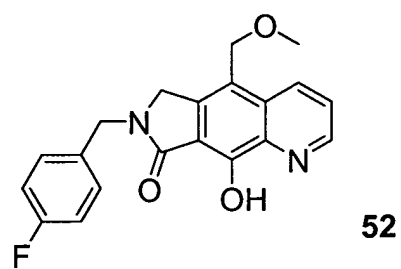
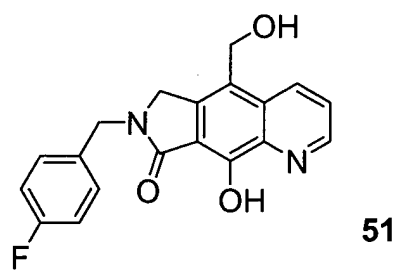


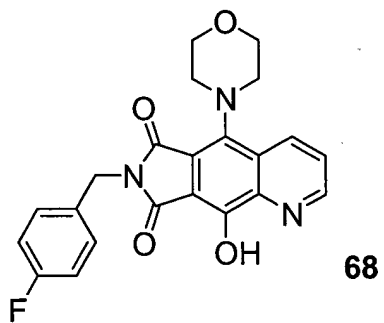
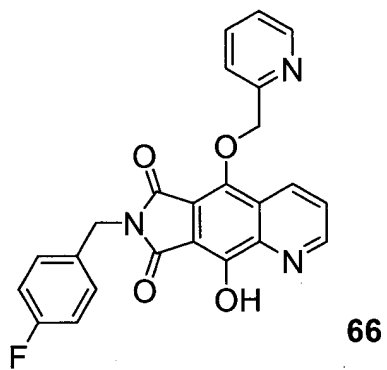
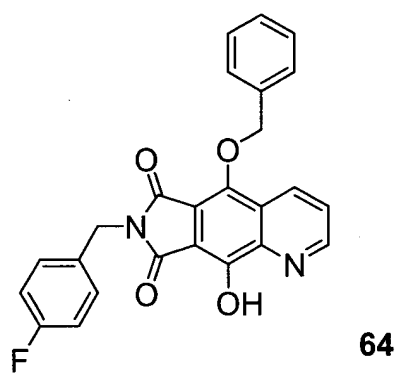
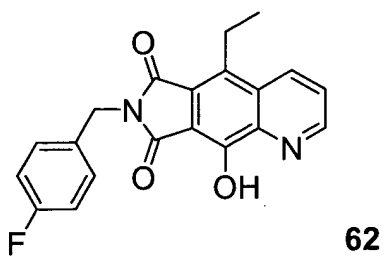
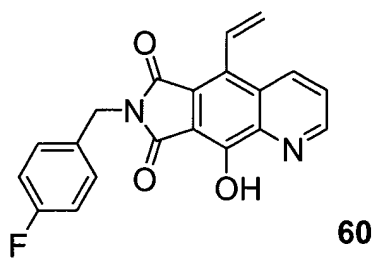
46. (once amended): A compound of claim 1 [11 selected from the structures:]  
wherein none of  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R$ , or  $R^{x2}$  is a prodrug moiety

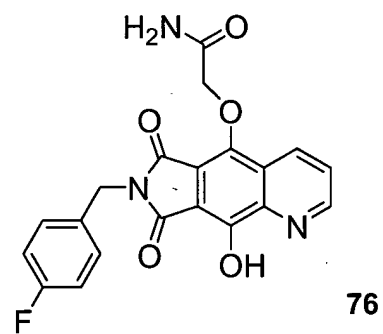
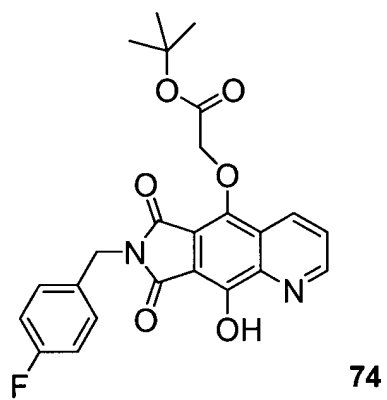
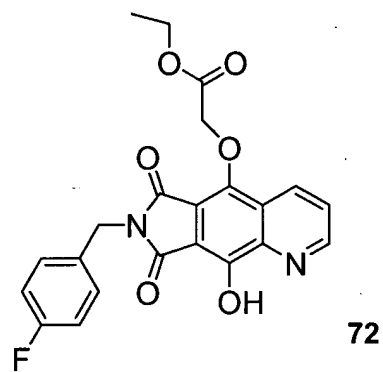
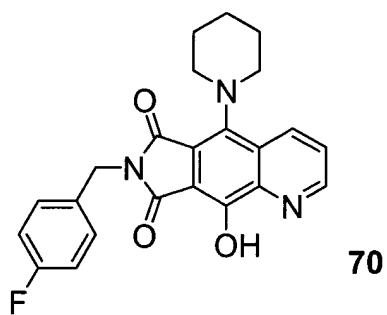


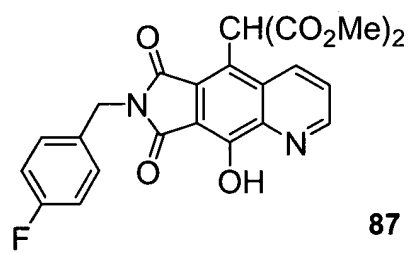
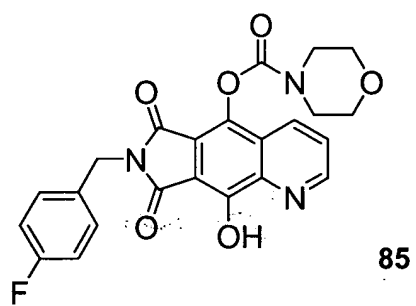
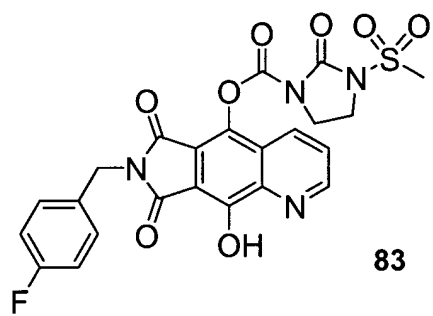
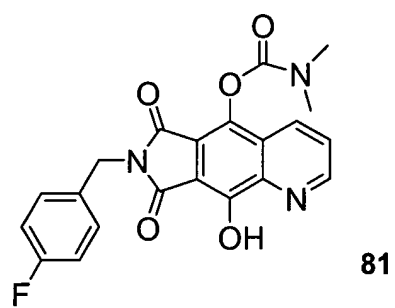
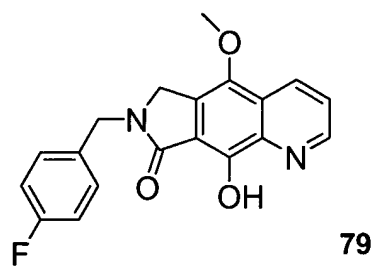
47. (once amended): A compound of [claim 9] selected from the structures:

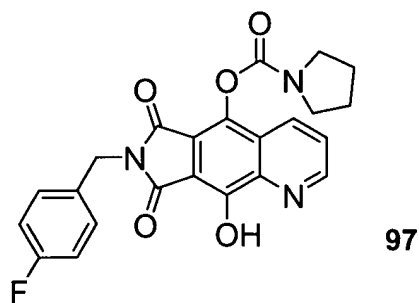
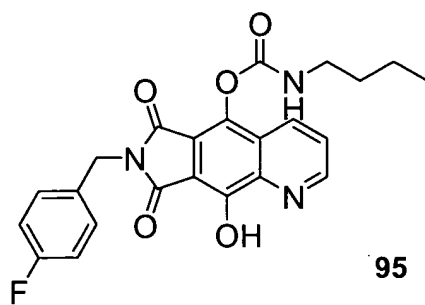
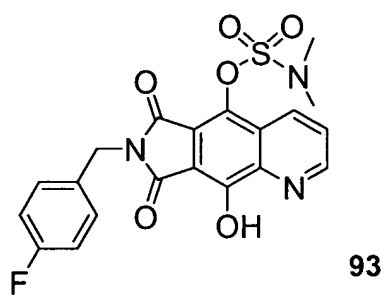
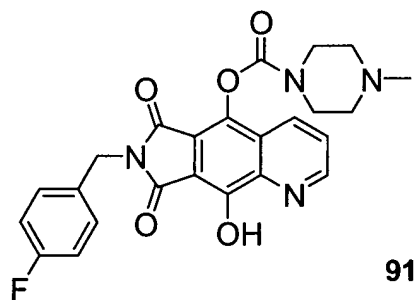
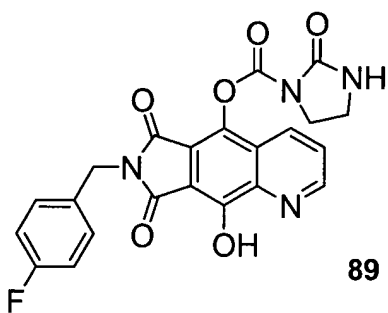


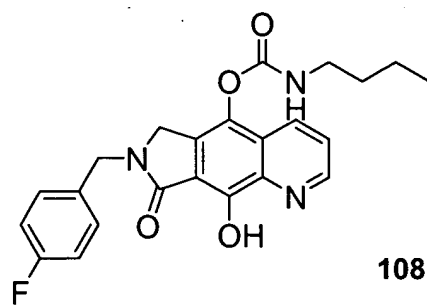
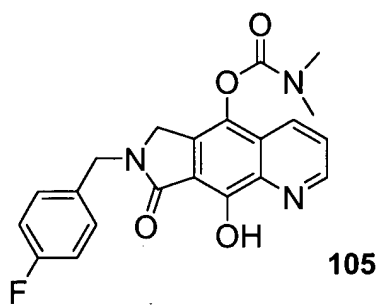
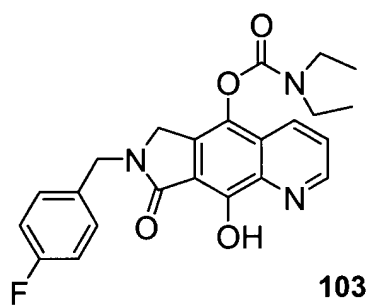
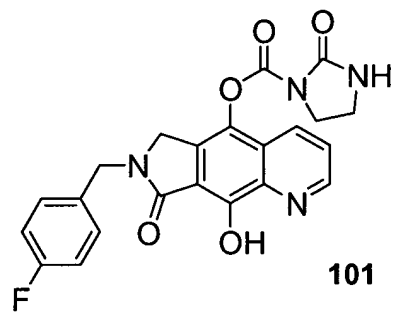
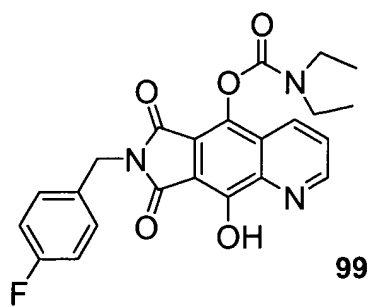




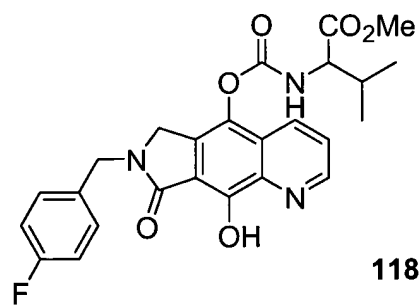
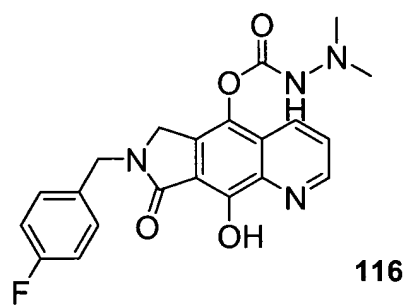
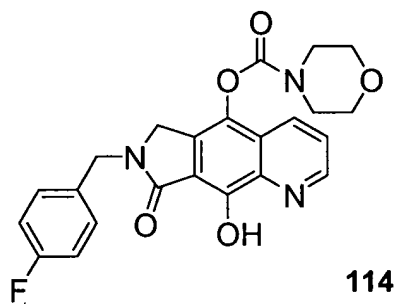
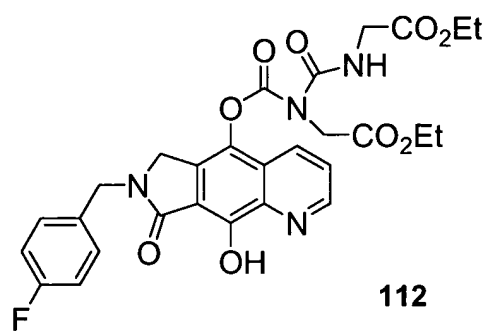
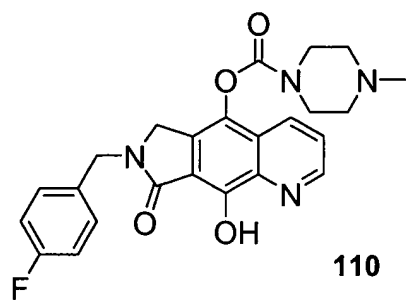


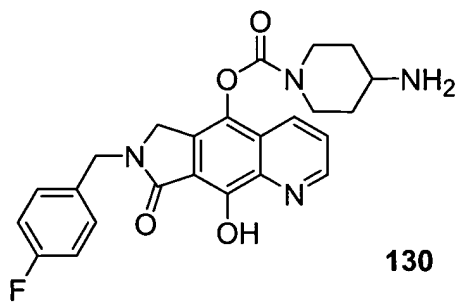
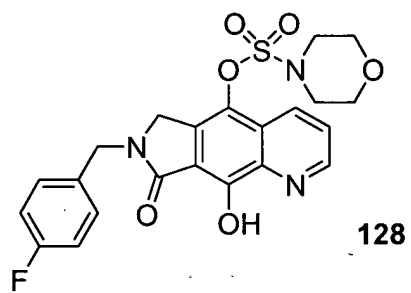
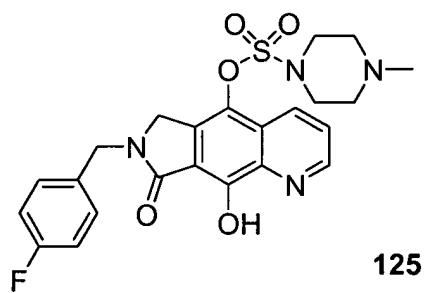
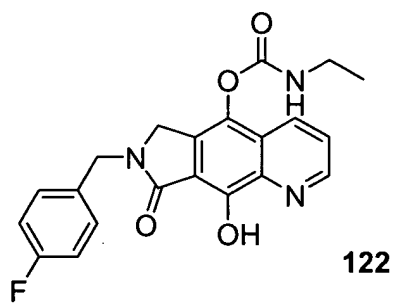
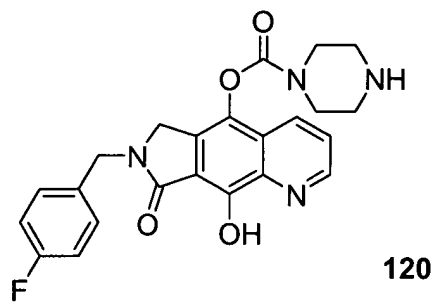


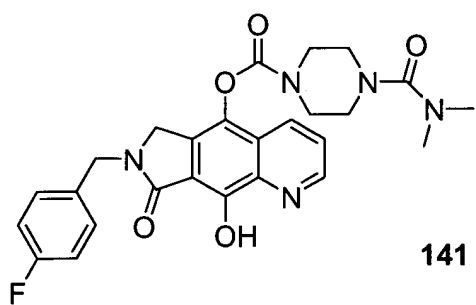
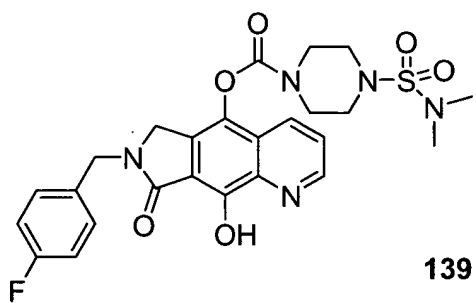
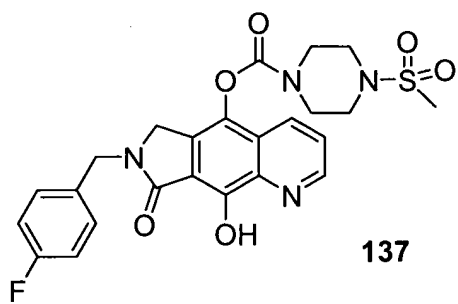
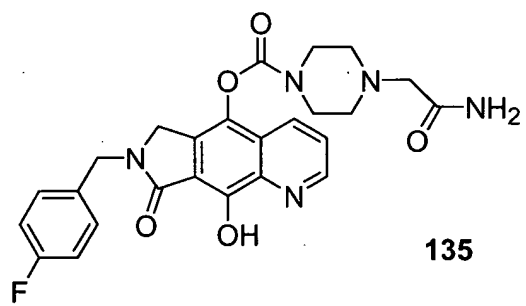
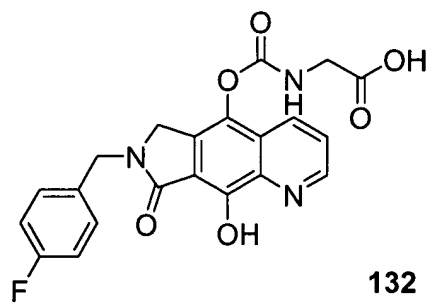


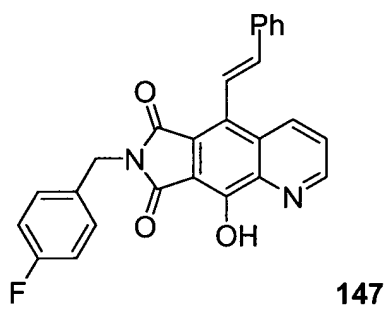
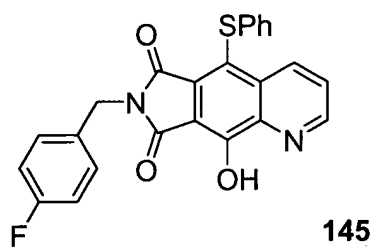
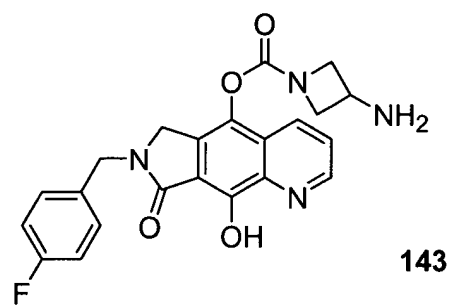


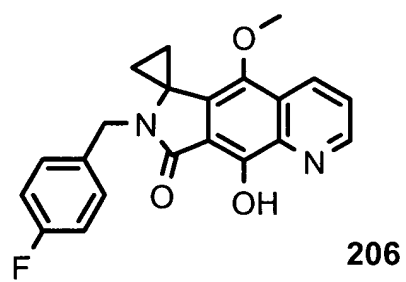
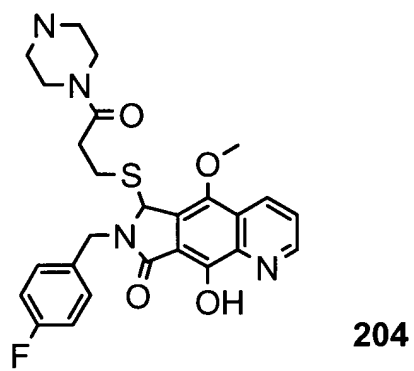
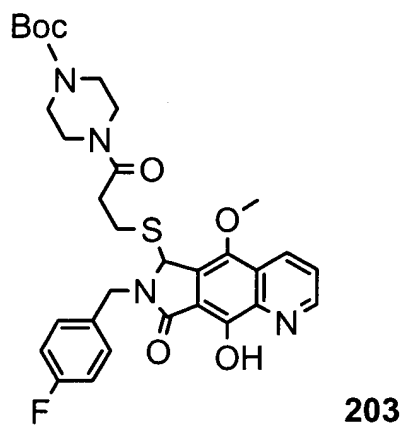


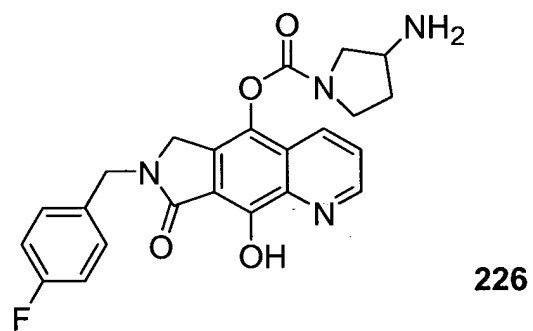
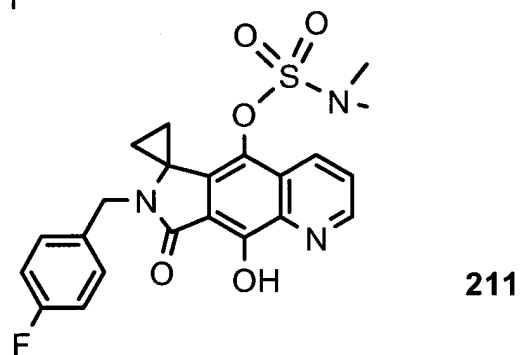
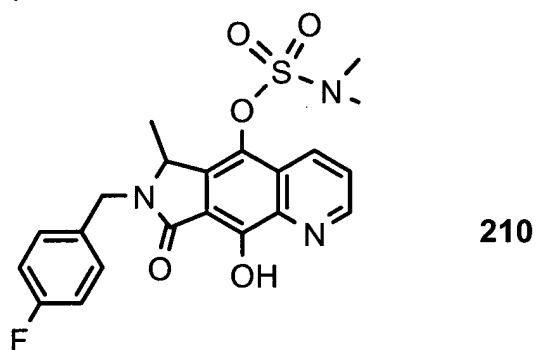
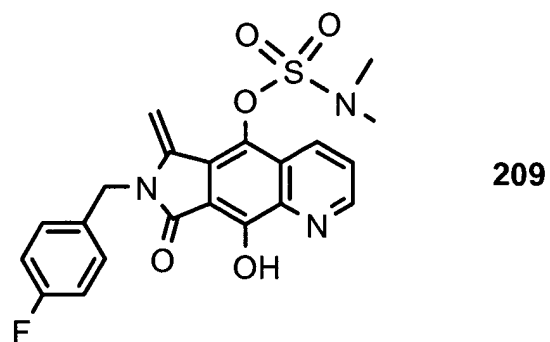


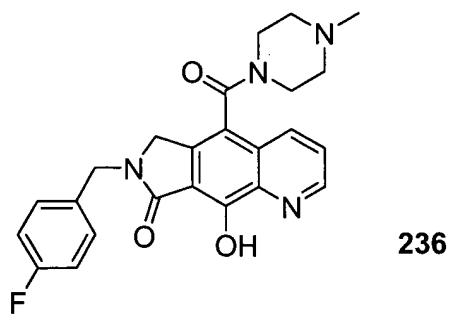
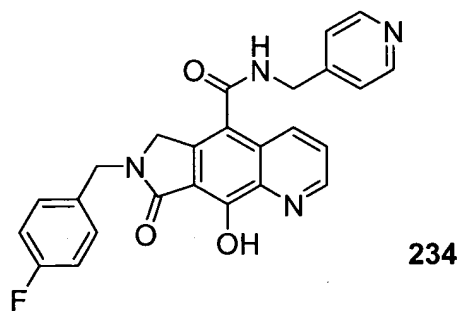
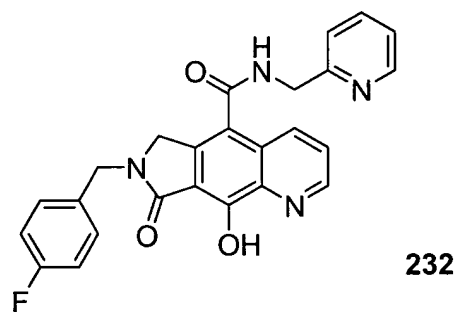
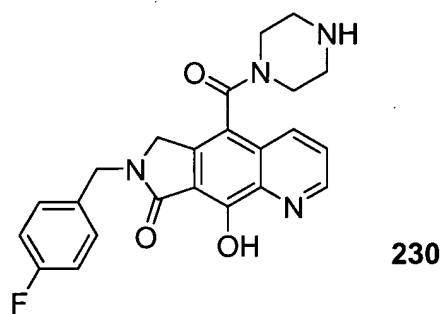
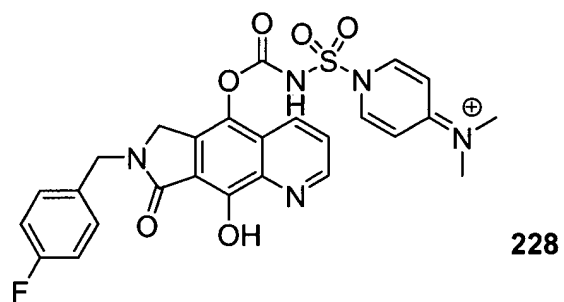


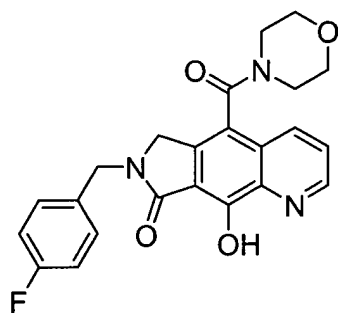




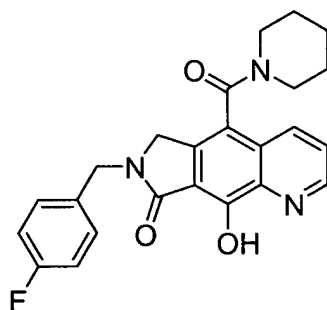




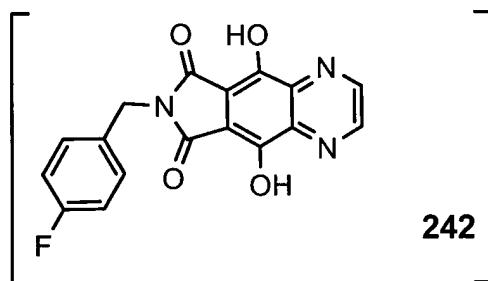




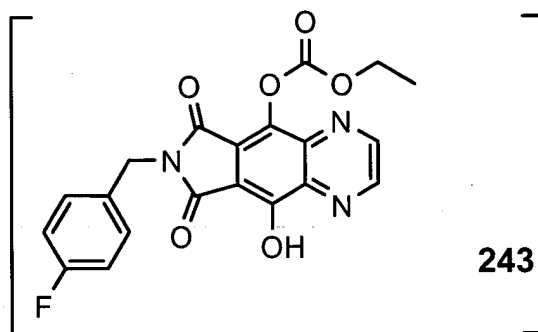
238



240

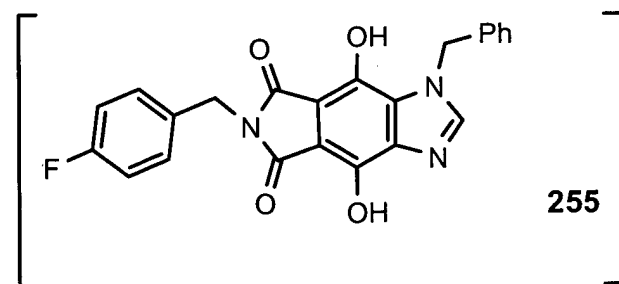
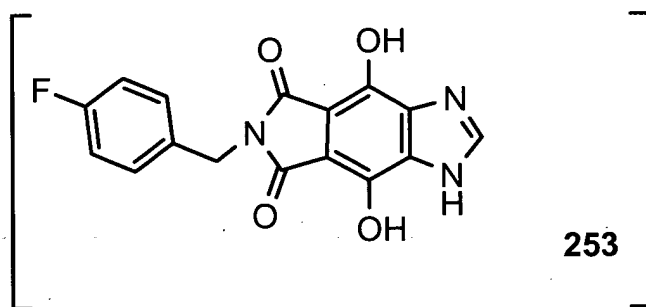
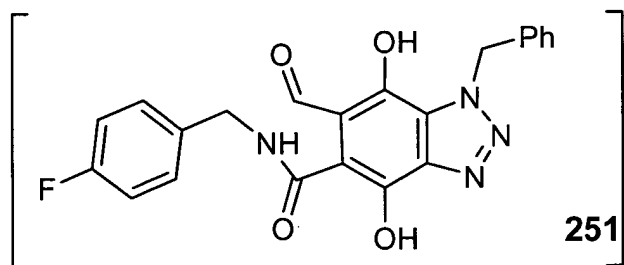
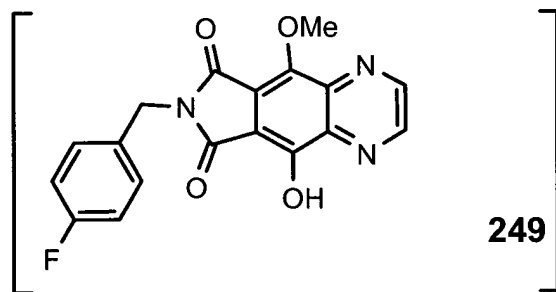
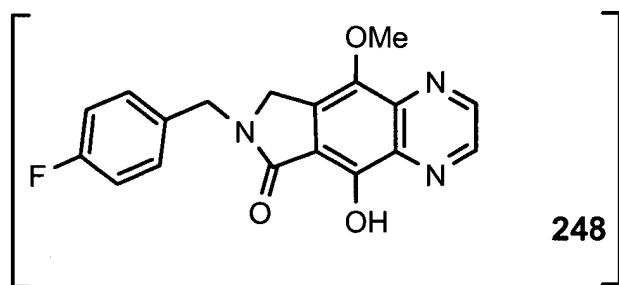


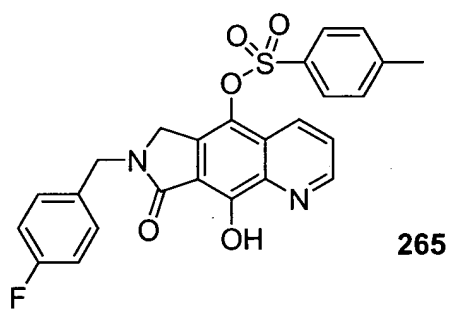
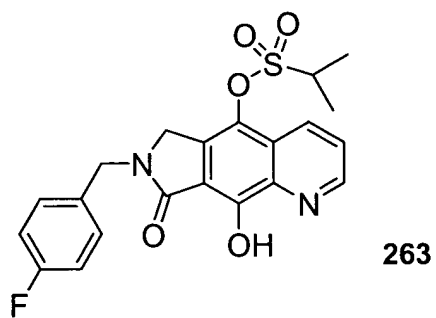
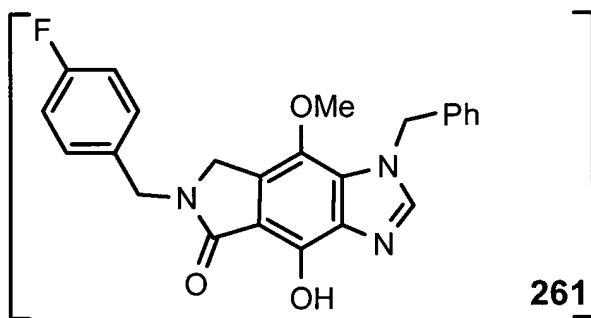
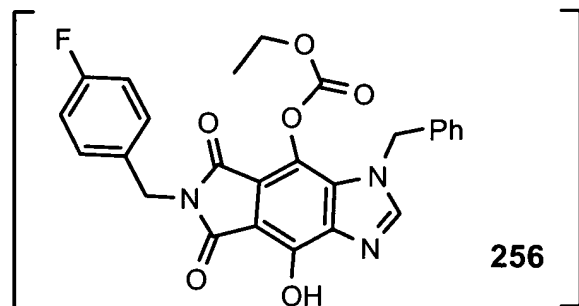
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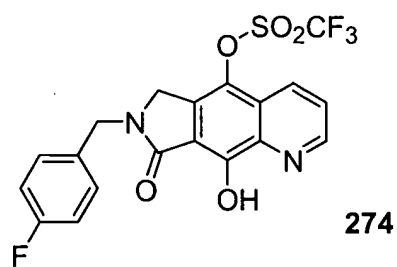
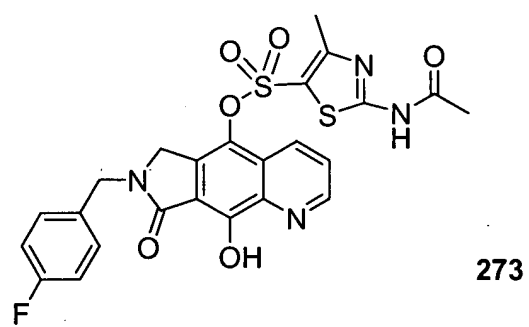
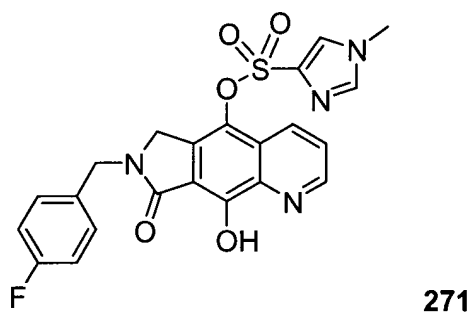
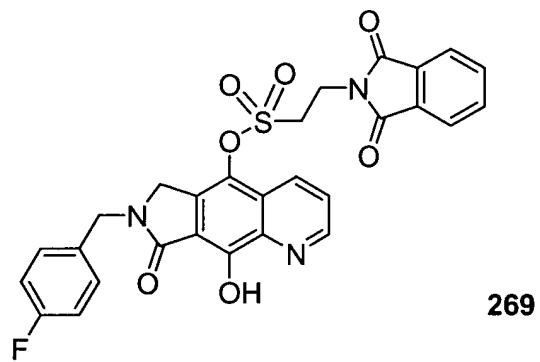
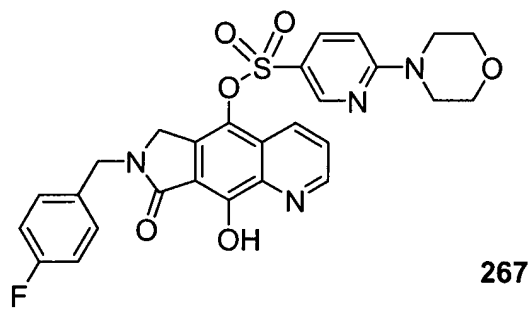


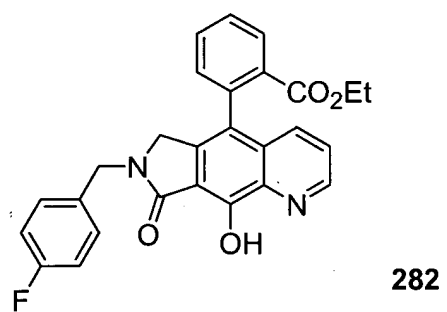
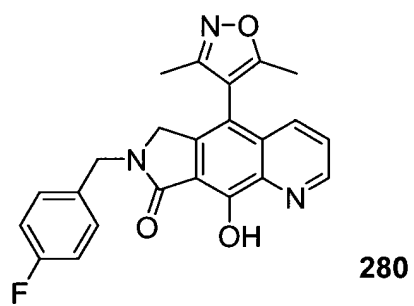
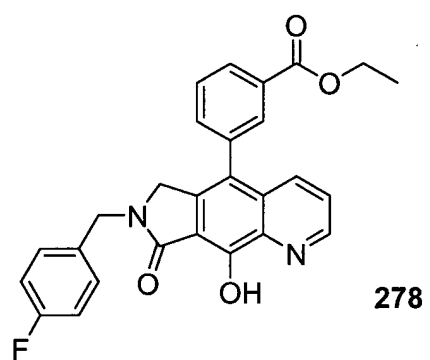
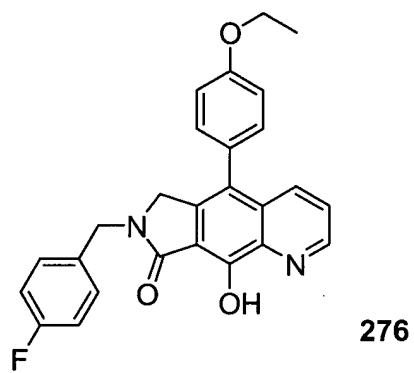
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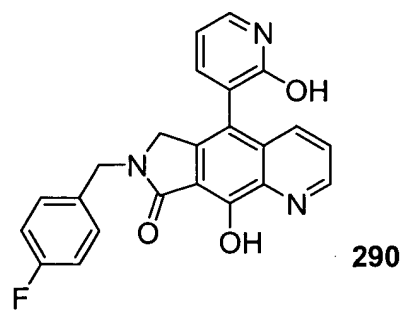
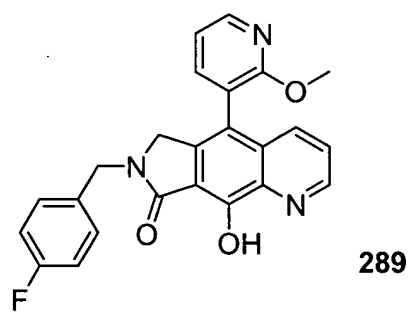
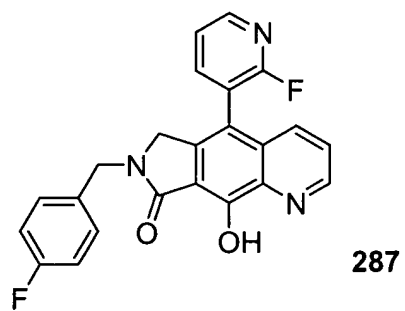
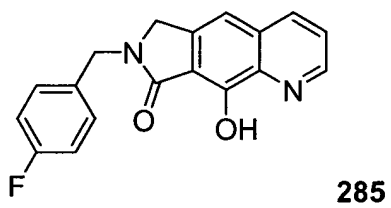
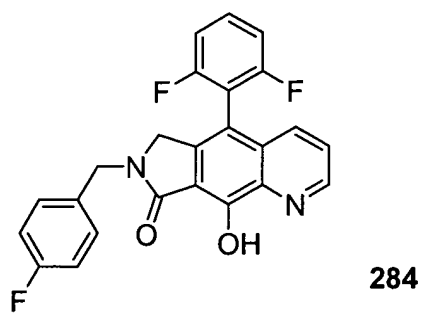


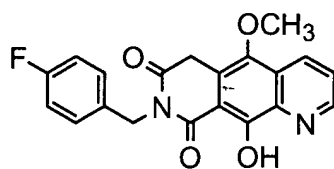




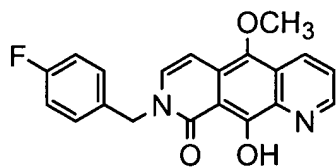




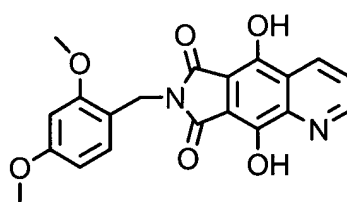




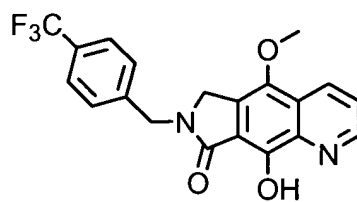
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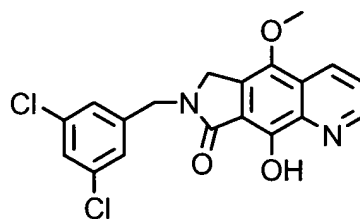
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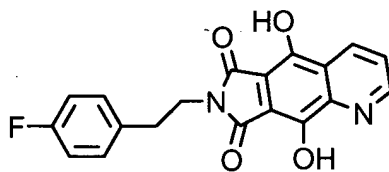
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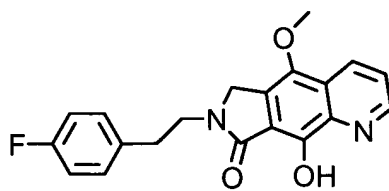
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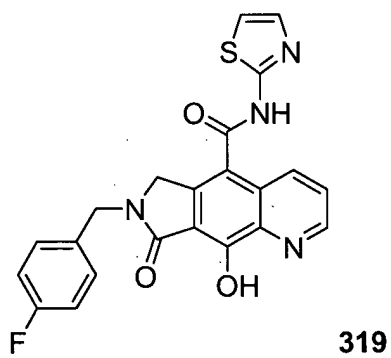
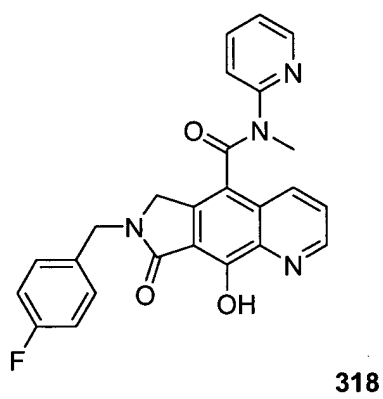
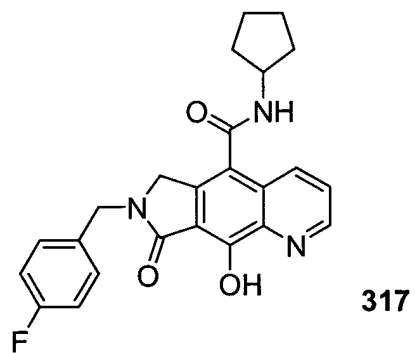
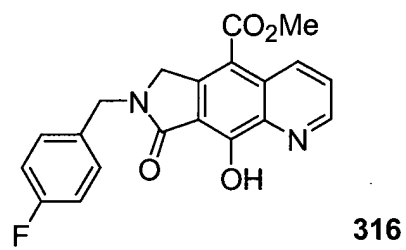
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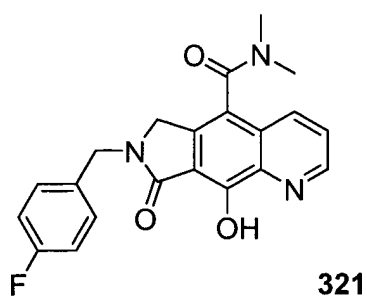
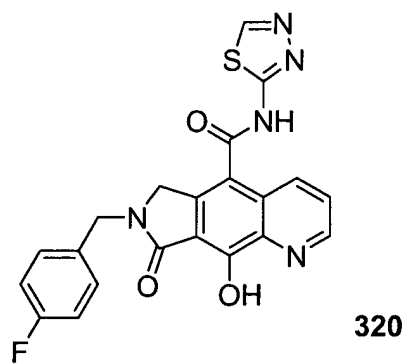


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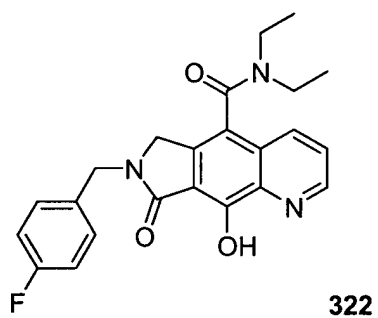


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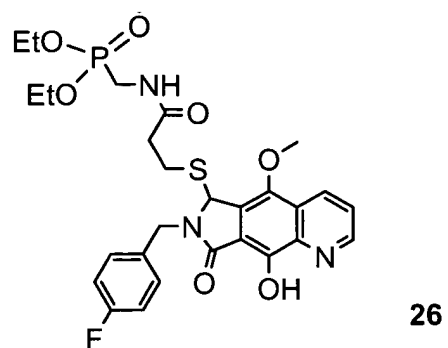




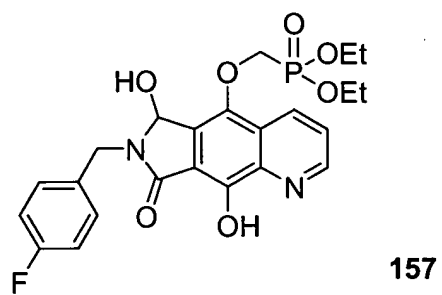
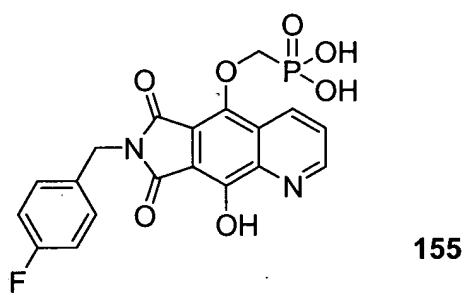
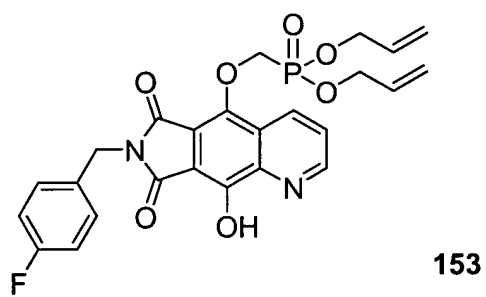
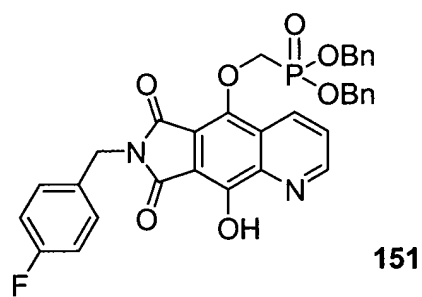
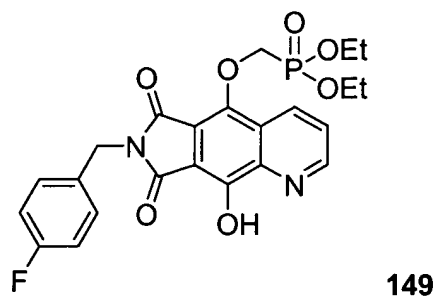
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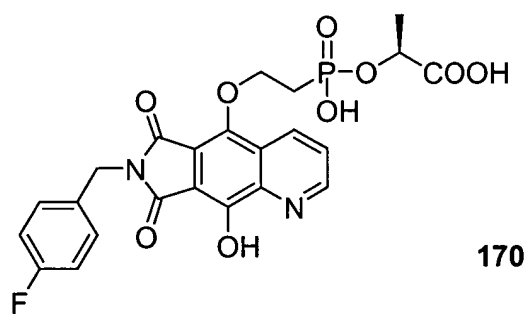
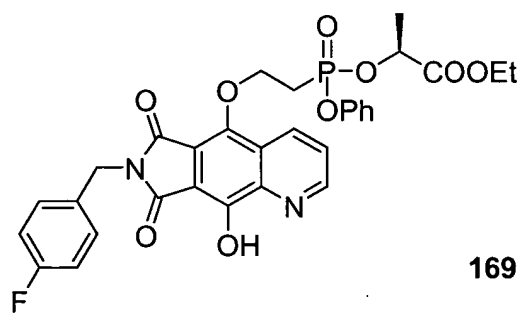
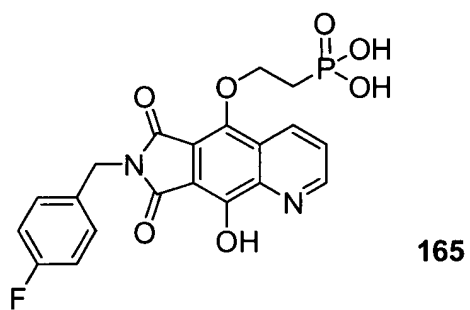
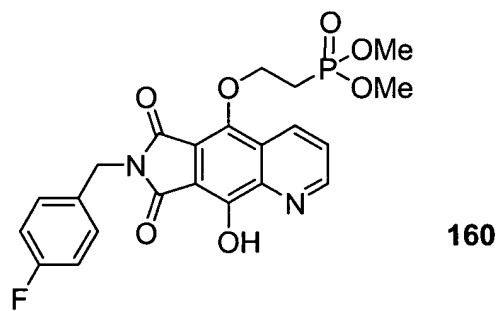
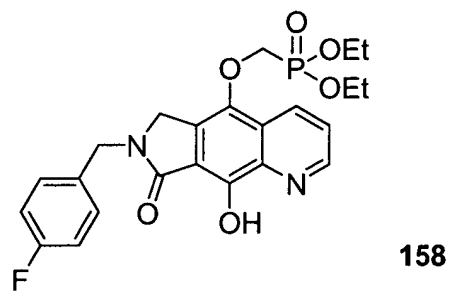


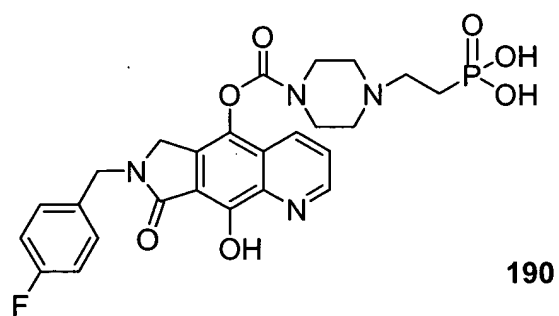
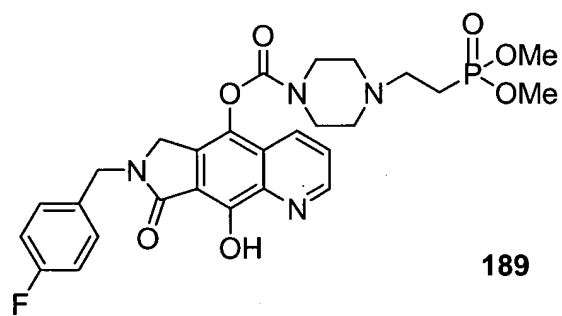
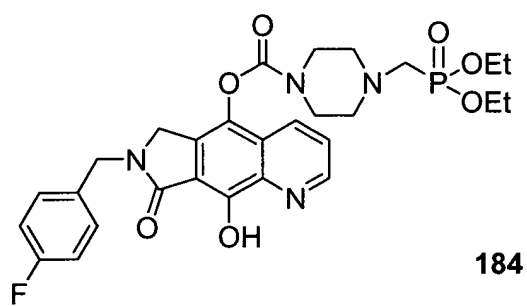
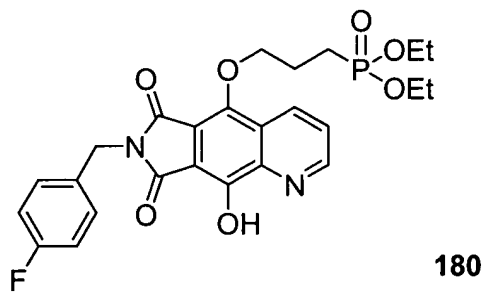
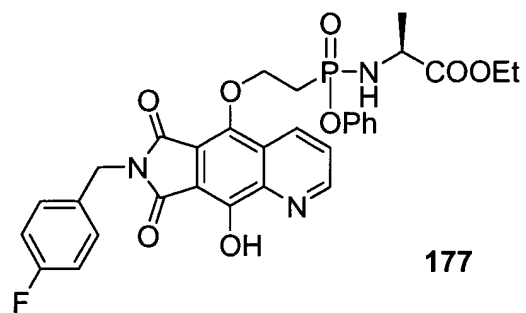
48. (once amended): A compound [of claim 13] selected from the structures:

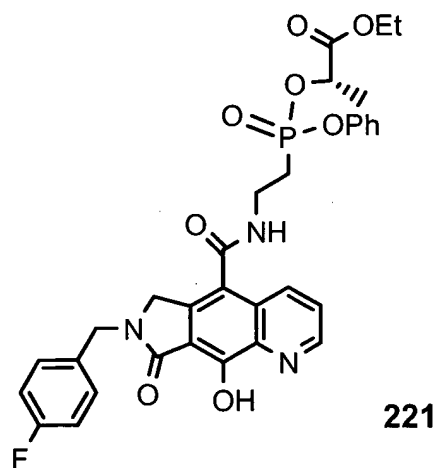
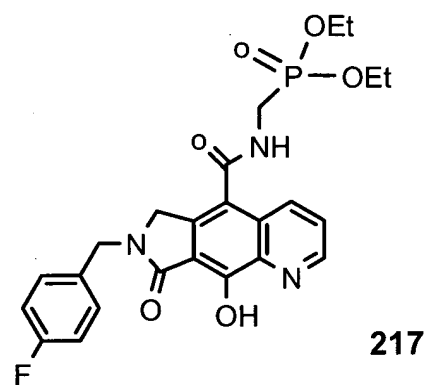
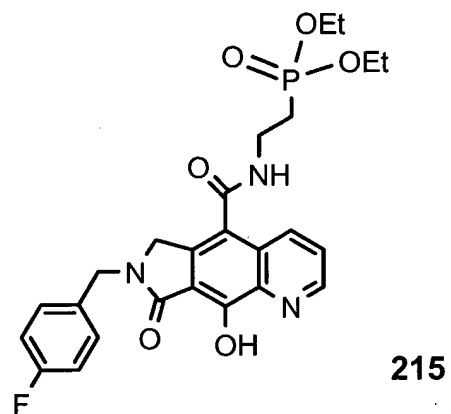
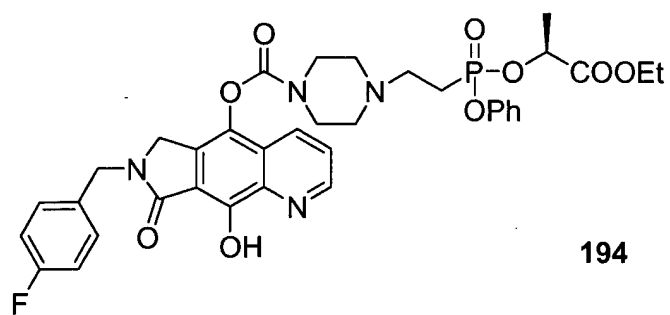


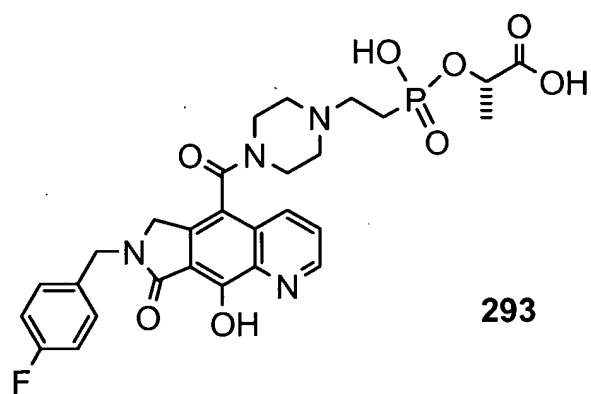
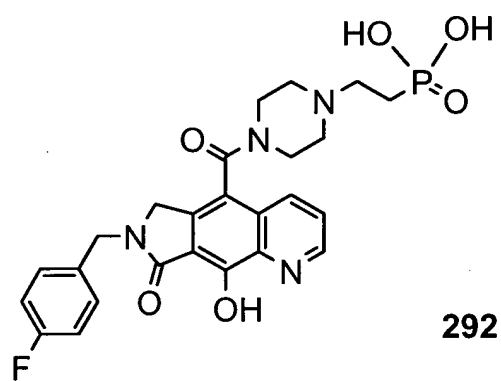
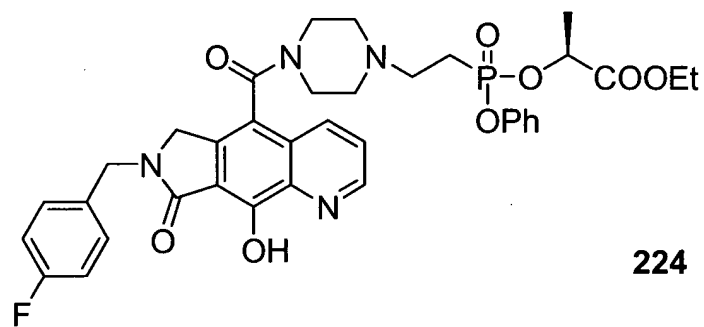
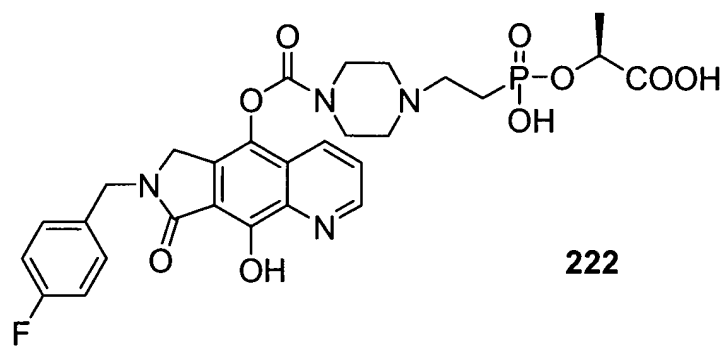


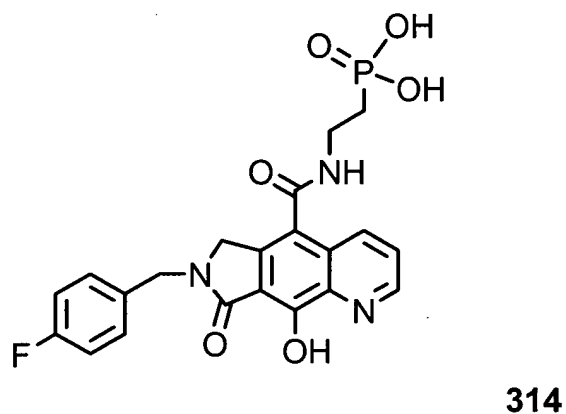
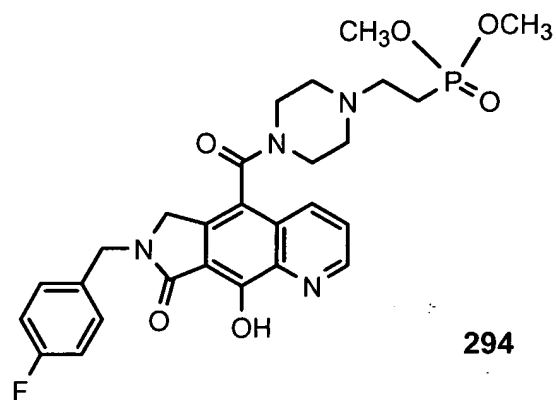




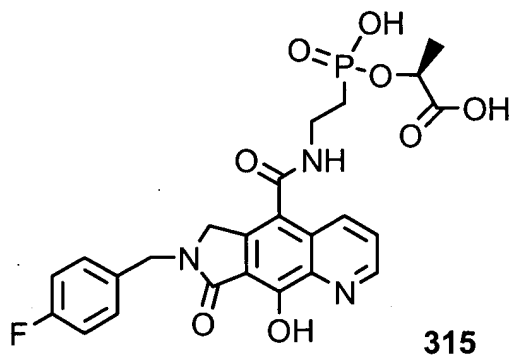




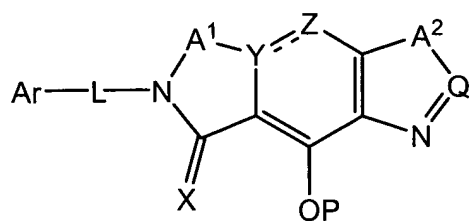




and



49. (once amended): A compound having the structure:



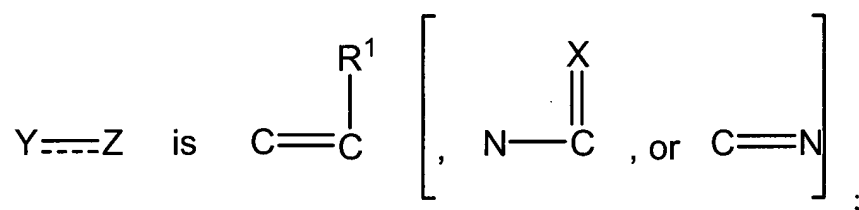
[or a salt thereof;]

wherein:

A<sup>1</sup> [and A<sup>2</sup> are] is independently selected from [O, S, NR,<sup>1</sup>] C(R<sup>2</sup>)<sub>2</sub>, CR<sup>2</sup>OR, CR<sup>2</sup>OC(=O)R, C(=O), C(=S), CR<sup>2</sup>SR, and C(=NR),

A<sup>2</sup> is independently selected from C(R<sup>2</sup>)<sub>2</sub>-C(R<sup>3</sup>)<sub>2</sub>, C(R<sup>2</sup>)=C(R<sup>3</sup>), [NR-C(R<sup>3</sup>)<sub>2</sub>, N=C(R<sup>3</sup>), N=N, SO<sub>2</sub>-NR,<sup>1</sup>] and C(=O)C(R<sup>3</sup>)<sub>2</sub>, C(=O)NR, C(R<sup>2</sup>)<sub>2</sub>-C(R<sup>3</sup>)<sub>2</sub>-C(R<sup>3</sup>)<sub>2</sub>, C(R<sup>2</sup>)=C(R<sup>3</sup>)-C(R<sup>3</sup>)<sub>2</sub>, C(R<sup>2</sup>)C(=O)NR, C(R<sup>2</sup>)C(=S)NR, C(R<sup>2</sup>)=N-C(R<sup>3</sup>)<sub>2</sub>, C(R<sup>2</sup>)=N-NR, and N=C(R<sup>3</sup>)-NR];

Q is [N, <sup>+</sup>NR, or] CR<sup>4</sup>;



L is selected from a bond, O, S, S-S, S(=O), S(=O)<sub>2</sub>, S(=O)<sub>2</sub>NR, NR, N-OR, C<sub>1</sub>-C<sub>12</sub> alkylene, C<sub>1</sub>-C<sub>12</sub> substituted alkylene, C<sub>2</sub>-C<sub>12</sub> alkenylene, C<sub>2</sub>-C<sub>12</sub> substituted alkenylene, C<sub>2</sub>-C<sub>12</sub> alkynylene, C<sub>2</sub>-C<sub>12</sub> substituted alkynylene, C(=O)NH, OC(=O)NH, NHC(=O)NH, C(=O), C(=O)NH(CH<sub>2</sub>)<sub>n</sub>, or (CH<sub>2</sub>CH<sub>2</sub>O)<sub>n</sub>, where n is optionally [may be] 1, 2, 3, 4, 5, or 6;

X is selected from O, S, NH, NR, N-OR, N-NR<sub>2</sub>, N-CR<sub>2</sub>OR and N-CR<sub>2</sub>NR<sub>2</sub>;

Ar is selected from (a) a C<sub>3</sub>-C<sub>12</sub> carbocycle, C<sub>3</sub>-C<sub>12</sub> substituted carbocycle, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl;

or (b) a saturated, unsaturated or aromatic ring or ring system having a mono- or bicyclic carbocycle or heterocycle containing 3 to 12 ring atoms;

[R<sup>1</sup>,] R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are each independently selected from H, F, Cl, Br, I, OH, -NH<sub>2</sub>, -NH<sub>3</sub><sup>+</sup>, -NHR, -NR<sub>2</sub>, -NR<sub>3</sub><sup>+</sup>, C<sub>1</sub>-C<sub>8</sub> alkylhalide, carboxylate, sulfate, sulfamate, sulfonate, 5-7 membered ring sultam, C<sub>1</sub>-C<sub>8</sub> alkylsulfonate, C<sub>1</sub>-C<sub>8</sub> alkylamino, 4-dialkylaminopyridinium, C<sub>1</sub>-C<sub>8</sub> alkylhydroxyl, C<sub>1</sub>-C<sub>8</sub> alkylthiol, -SO<sub>2</sub>R, -SO<sub>2</sub>Ar, -SOAr, -SAr, -SO<sub>2</sub>NR<sub>2</sub>, -SOR, -CO<sub>2</sub>R, -C(=O)NR<sub>2</sub>, 5-7 membered ring lactam, 5-7 membered ring lactone, -CN, -N<sub>3</sub>, -NO<sub>2</sub>, C<sub>1</sub>-C<sub>8</sub> alkoxy, C<sub>1</sub>-C<sub>8</sub> trifluoroalkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> substituted alkyl, C<sub>3</sub>-C<sub>12</sub> carbocycle, C<sub>3</sub>-C<sub>12</sub> substituted carbocycle, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, and phosphate[, and a prodrug moiety];

when taken together on a single carbon, two R<sup>2</sup> or two R<sup>3</sup> may form a spiro ring;

R<sup>1</sup> is independently selected from CR<sub>3</sub>, NRSO<sub>2</sub>R, OC(=O)NR<sub>2</sub>, OC(=O)R, SR, H, F, Cl, Br, I, OH, -NH<sub>2</sub>, -NH<sub>3</sub><sup>+</sup>, -NHR, -NR<sub>2</sub>, -NR<sub>3</sub><sup>+</sup>, C<sub>1</sub>-C<sub>8</sub> alkylhalide, carboxylate, sulfate, sulfamate, sulfonate, 5-7 membered ring sultam, C<sub>1</sub>-C<sub>8</sub> alkylsulfonate, C<sub>1</sub>-C<sub>8</sub> alkylamino, 4-dialkylaminopyridinium, C<sub>1</sub>-C<sub>8</sub> alkylhydroxyl, C<sub>1</sub>-C<sub>8</sub> alkylthiol, -SO<sub>2</sub>R, -SO<sub>2</sub>Ar, -SOAr, -SAr, -SO<sub>2</sub>NR<sub>2</sub>, -SOR, -CO<sub>2</sub>R, -C(=O)NR<sub>2</sub>, 5-7 membered ring lactam, 5-7 membered ring lactone, -CN, -N<sub>3</sub>, -NO<sub>2</sub>, C<sub>1</sub>-C<sub>8</sub> alkoxy, C<sub>1</sub>-C<sub>8</sub> trifluoroalkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> substituted alkyl, C<sub>3</sub>-C<sub>12</sub> carbocycle, C<sub>3</sub>-C<sub>12</sub> substituted carbocycle, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, and phosphate;

R<sup>1</sup> is independently selected from CR<sub>3</sub>, NRSO<sub>2</sub>R, OC(=O)OR, OC(=O)NR<sub>2</sub>, OC(+O)R, SR, H, F, Cl, Br, I, OH, -NH<sub>2</sub>, -NH<sub>3</sub><sup>+</sup>, -NHR, -NR<sub>2</sub>, -NR<sub>3</sub><sup>+</sup>, C<sub>1</sub>-C<sub>8</sub> alkylhalide, carboxylate, sulfate, sulfamate, sulfonate, 5-7 membered ring sultam, C<sub>1</sub>-C<sub>8</sub> alkylsulfonate, C<sub>1</sub>-C<sub>8</sub> alkylamino, 4-dialkylaminopyridinium, C<sub>1</sub>-C<sub>8</sub> alkylhydroxyl, C<sub>1</sub>-C<sub>8</sub> alkylthiol, -SO<sub>2</sub>R, -SO<sub>2</sub>Ar, -SOAr, -SAr, -SO<sub>2</sub>NR<sub>2</sub>, -SOR, -CO<sub>2</sub>R, -C(=O)NR<sub>2</sub>, 5-7 membered ring lactam, 5-7 membered ring lactone, -CN, -N<sub>3</sub>, -NO<sub>2</sub>, C<sub>1</sub>-C<sub>8</sub> alkoxy, C<sub>1</sub>-C<sub>8</sub> trifluoroalkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> substituted alkyl, C<sub>3</sub>-C<sub>12</sub> carbocycle, C<sub>3</sub>-C<sub>12</sub> substituted carbocycle, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, and phosphate;

R is independently selected from H, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> substituted alkyl, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, and phosphate;

R<sup>X2</sup> is independently selected from H, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> substituted alkyl, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, and phosphate;

and the tautomers, salts, solvates, resolved enantiomers and purified diastereomers thereof;

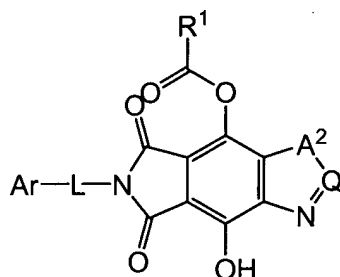
with the proviso that when Y=Z is C=C(OH), X is O, A<sup>1</sup> is C(=O), A<sup>2</sup> is C(R<sup>2</sup>)=C(R<sup>3</sup>), and Q is CH, then L is not a bond.

[R is independently selected from H, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> substituted alkyl, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, and phosphate, and a prodrug; and

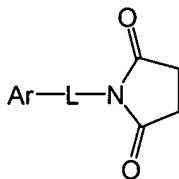


P is a protecting group selected from benzyhydryl (CHPh<sub>2</sub>), trialkylsilyl (R<sub>3</sub>Si), 2-trimethylsiloxyethyl, alkoxymethyl (CH<sub>2</sub>OR), and ester (C(=O)R)].

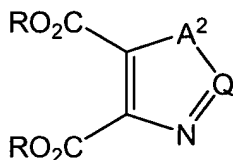
50. (once amended): A process for preparation of a compound having the structure:



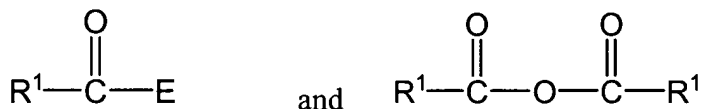
comprising reacting a succinimide compound having the structure:



with a heterocyclic compound having the structure:



and [reaction] reacting with an acylation reagent having [comprising] a formula selected from:



wherein:

A<sup>2</sup> is selected from [O, S, NR, C(R<sup>2</sup>)<sub>2</sub>, CR<sup>2</sup>OR, CR<sup>2</sup>OC(=O)R, C(=O), C(=S), CR<sup>2</sup>SR, C(=NR),] C(R<sup>2</sup>)<sub>2</sub>-C(R<sup>3</sup>)<sub>2</sub>, C(R<sup>2</sup>)=C(R<sup>3</sup>), [NR-C(R<sup>3</sup>)<sub>2</sub>, N=C(R<sup>3</sup>), N=N, SO<sub>2</sub>-NR,] and C(=O)C(R<sup>3</sup>)<sub>2</sub>, [C(=O)NR, C(R<sup>2</sup>)<sub>2</sub>-C(R<sup>3</sup>)<sub>2</sub>-C(R<sup>3</sup>)<sub>2</sub>, C(R<sup>2</sup>)=C(R<sup>3</sup>)-C(R<sup>3</sup>)<sub>2</sub>, C(R<sup>2</sup>)C(=O)NR, C(R<sup>2</sup>)C(=S)NR, C(R<sup>2</sup>)=N-C(R<sup>3</sup>)<sub>2</sub>, C(R<sup>2</sup>)=N-NR, and N=C(R<sup>3</sup>)-NR];

Q is [N, <sup>+</sup>NR, or] CR<sup>4</sup>;

L is selected from a bond, O, S, NR, N-OR, C<sub>1</sub>-C<sub>12</sub> alkylidyl, C<sub>1</sub>-C<sub>12</sub> substituted alkylidyl, C(=O)NH, C(=O), S(=O), S(=O)<sub>2</sub>, C(=O)NH(CH<sub>2</sub>)<sub>n</sub>, and (CH<sub>2</sub>CH<sub>2</sub>O)<sub>n</sub>, where n ranges from 1 to 6;

Ar is selected from (a) a C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl or (b) a saturated, unsaturated or aromatic ring or ring system having a mono- or bicyclic carbocycle or heterocycle containing 3 to 12 ring atoms;

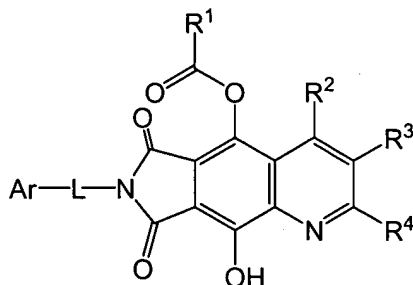
R<sup>1</sup> is selected from R, OR, NR<sub>2</sub>, NHR, NHSO<sub>2</sub>R, and NRSO<sub>2</sub>R;

E is selected from Cl, imidazole, and hydroxybenzotriazole;

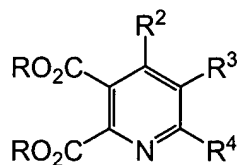
R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are each independently selected from H, F, Cl, Br, I, OH, -NH<sub>2</sub>, -NH<sub>3</sub><sup>+</sup>, -NHR, -NR<sub>2</sub>, -NR<sub>3</sub><sup>+</sup>, C<sub>1</sub>-C<sub>8</sub> alkylhalide, carboxylate, sulfate, sulfamate, sulfonate, 5-7 membered ring sultam, C<sub>1</sub>-C<sub>8</sub> alkylsulfonate, C<sub>1</sub>-C<sub>8</sub> alkylamino, 4-dialkylaminopyridinium, C<sub>1</sub>-C<sub>8</sub> alkylhydroxyl, C<sub>1</sub>-C<sub>8</sub> alkylthiol, -SO<sub>2</sub>R, -SO<sub>2</sub>Ar, -SOAr, -SAr, -SO<sub>2</sub>NR<sub>2</sub>, -SOR, -CO<sub>2</sub>R, -C(=O)NR<sub>2</sub>, 5-7 membered ring lactam, 5-7 membered ring lactone, -CN, -N<sub>3</sub>, -NO<sub>2</sub>, C<sub>1</sub>-C<sub>8</sub> alkoxy, C<sub>1</sub>-C<sub>8</sub> trifluoroalkyl, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> substituted alkyl, C<sub>3</sub>-C<sub>12</sub> carbocycle, C<sub>3</sub>-C<sub>12</sub> substituted carbocycle, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, and C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, phosphate, and a prodrug moiety; and

R is selected from C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> substituted alkyl, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> substituted aryl, C<sub>2</sub>-C<sub>20</sub> heteroaryl, C<sub>2</sub>-C<sub>20</sub> substituted heteroaryl, polyethyleneoxy, phosphonate, phosphate, and a prodrug moiety.

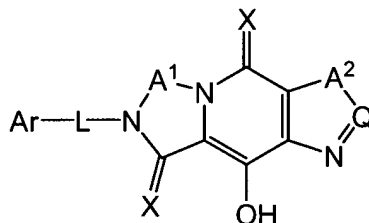
51. (previously presented): The process of claim 50 for preparation of a compound having the structure:



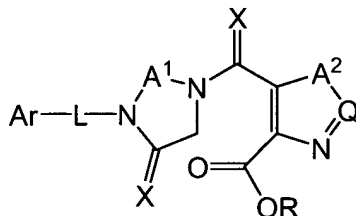
wherein the heterocyclic compound has the structure:



52. (currently amended): A process for preparation of a compound having the structure:



comprising reacting a compound having the structure:



with a basic reagent comprising hydroxide, an alkoxide or an amine;

wherein:

$A^1$  [and  $A^2$  are] is independently selected from  $[O, S, NR,] C(R^2)_2, CR^2OR, CR^2OC(=O)R, C(=O), C(=S), CR^2SR,$  and  $C(=NR),$

$A^2$  is independently selected from  $C(R^2)_2-C(R^3)_2, C(R^2)=C(R^3), [C(R^2)_2-O, NR-C(R^3)_2, N=C(R^3), N=N, SO_2-NR,]$  and  $C(=O)C(R^3)_2, [C(=O)NR, C(R^2)_2-C(R^3)_2-C(R^3)_2, C(R^2)=C(R^3)-C(R^3)_2, C(R^2)C(=O)NR, C(R^2)C(=S)NR, C(R^2)=N-C(R^3)_2, C(R^2)=N-NR,$  and  $N=C(R^3)-NR];$

$Q$  is  $[N, ^+NR, \text{ or }] CR^4;$

$X$  is selected from  $O, S, NH, NR, N-OR, N-NR_2, N-CR_2OR$  and  $N-CR_2NR_2;$

$L$  is selected from a bond,  $O, S, NR, N-OR, C_1-C_{12}$  alkyldiyl,  $C_1-C_{12}$  substituted alkyldiyl,  $C(=O)NH, C(=O), S(=O), S(=O)_2, C(=O)NH(CH_2)_n,$  and  $(CH_2CH_2O)_n,$  where  $n$  ranges from 1 to 6;

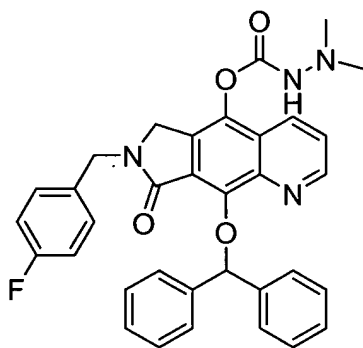
$Ar$  is selected from (a) a  $C_6-C_{20}$  aryl,  $C_6-C_{20}$  substituted aryl,  $C_2-C_{20}$  heteroaryl, and  $C_2-C_{20}$  substituted heteroaryl;

or (b) a saturated, unsaturated or aromatic ring or ring system having a mono- or bicyclic carbocycle or heterocycle containing 3 to 12 ring atoms;

$R^2$ ,  $R^3$  and  $R^4$  are each independently selected from H, F, Cl, Br, I, OH,  $-NH_2$ ,  $-NH_3^+$ ,  $-NHR$ ,  $-NR_2$ ,  $-NR_3^+$ ,  $C_1-C_8$  alkylhalide, carboxylate, sulfate, sulfamate, sulfonate, 5-7 membered ring sultam,  $C_1-C_8$  alkylsulfonate,  $C_1-C_8$  alkylamino, 4-dialkylaminopyridinium,  $C_1-C_8$  alkylhydroxyl,  $C_1-C_8$  alkylthiol,  $-SO_2R$ ,  $-SO_2Ar$ ,  $-SOAr$ ,  $-SAr$ ,  $-SO_2NR_2$ ,  $-SOR$ ,  $-CO_2R$ ,  $-C(=O)NR_2$ , 5-7 membered ring lactam, 5-7 membered ring lactone,  $-CN$ ,  $-N_3$ ,  $-NO_2$ ,  $C_1-C_8$  alkoxy,  $C_1-C_8$  trifluoroalkyl,  $C_1-C_8$  alkyl,  $C_1-C_8$  substituted alkyl,  $C_3-C_{12}$  carbocycle,  $C_3-C_{12}$  substituted carbocycle,  $C_6-C_{20}$  aryl,  $C_6-C_{20}$  substituted aryl,  $C_2-C_{20}$  heteroaryl, and  $C_2-C_{20}$  substituted heteroaryl, polyethyleneoxy, phosphonate, phosphate, and a prodrug moiety; and

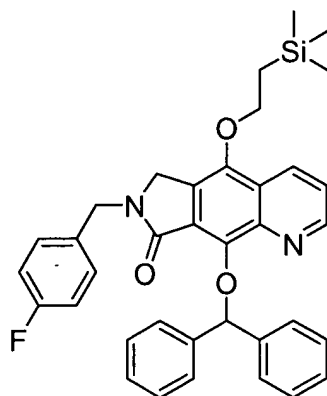
R is selected from  $C_1-C_6$  alkyl,  $C_1-C_6$  substituted alkyl,  $C_6-C_{20}$  aryl,  $C_6-C_{20}$  substituted aryl,  $C_2-C_{20}$  heteroaryl,  $C_2-C_{20}$  substituted heteroaryl, polyethyleneoxy, phosphonate, phosphate, and a prodrug moiety.

53. (previously presented): A process for preparation of a compound having structure 115:



115

comprising reacting a compound having the structure 44:



44

with tetrabutylammonium fluoride to form a desilylated intermediate; and reacting the desilylated intermediate with triphosgene (bis(trichloromethyl) carbonate), followed by dimethylhydrazine to form structure 115.

54. (once amended): A compound of claim 1 substituted with [comprising a] phosphonate [prodrug] and capable of accumulating in human PBMC.

55. (previously presented): The compound of claim 54 wherein the intracellular half-life [bioavailability] of the compound or an intracellular metabolite of the compound in human PBMC is increased by at least about 50% [improved] when compared to the analog of the compound not having the phosphonate [or phosphonate prodrug].

56. (canceled)

57. (canceled)

58. (once amended): The compound of claim 55 [56] wherein the half-life is improved by at least about 100%.

59. (canceled)

60. (canceled)

61. (canceled)

62. (canceled)

63. (previously presented): A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.

64. (previously presented): The pharmaceutical composition of claim [62] 63 further comprising a therapeutically effective amount of an AIDS treatment agent selected from an HIV inhibitor agent, an anti-infective agent, and an immunomodulator.

65. (previously presented): The pharmaceutical composition of claim 64 wherein the HIV inhibitor agent is an HIV-protease inhibitor.

66. (previously presented): The composition of claim 64 wherein the HIV inhibitor agent is a nucleoside reverse transcriptase inhibitor.

67. (previously presented): The composition of claim 64 wherein the HIV inhibitor agent is a non-nucleoside reverse transcriptase inhibitor.

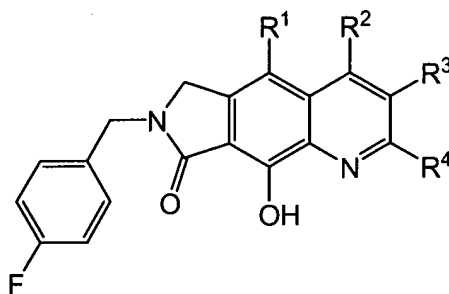
68. (previously presented): A process for making a pharmaceutical composition comprising combining a compound of claim 1 and a pharmaceutically acceptable carrier.

69. (previously presented): A method of inhibiting HIV integrase, comprising the administration to a mammal in need of such treatment of a therapeutically effective amount of a compound of claim 1.

70. (previously presented): A method of treating infection by HIV, or of treating AIDS or ARC, comprising administration to a mammal in need of such treatment of a therapeutically effective amount of a compound of claim 1.

71 – 79 (canceled)

80. (new): A compound having the structure:



wherein  $R^1$  is selected from R, OR,  $NR_2$ ,  $NHR$ ,  $NHSO_2R$  and  $NRSO_2R$ ;

$R^2$ ,  $R^3$  and  $R^4$  are each independently selected from H, F, Cl, Br, I, OH,  $-NH_2$ ,  $-NH_3^+$ ,  $-NHR$ ,  $-NR_2$ ,  $-NR_3^+$ ,  $C_1-C_8$  alkylhalide, carboxylate, sulfate, sulfamate, sulfonate, 5-7 membered ring sultam,  $C_1-C_8$  alkylsulfonate,  $C_1-C_8$  alkylamino, 4-dialkylaminopyridinium,  $C_1-C_8$  alkylhydroxyl,  $C_1-C_8$  alkylthiol,  $-SO_2R$ ,  $-SO_2Ar$ ,  $-SOAr$ ,  $-SAr$ ,  $-SO_2NR_2$ ,  $-SOR$ ,  $-CO_2R$ ,  $-C(=O)NR_2$ , 5-7 membered ring lactam, 5-7 membered ring lactone,  $-CN$ ,  $-N_3$ ,  $-NO_2$ ,  $C_1-C_8$  alkoxy,  $C_1-C_8$  trifluoroalkyl,  $C_1-C_8$  alkyl,  $C_1-C_8$  substituted alkyl,  $C_3-C_{12}$  carbocycle,  $C_3-C_{12}$  substituted carbocycle,  $C_6-C_{20}$  aryl,  $C_6-C_{20}$  substituted aryl,  $C_2-C_{20}$  heteroaryl, and  $C_2-C_{20}$  substituted heteroaryl, polyethyleneoxy, phosphonate, phosphate, and a prodrug moiety; and

R is independently selected from H,  $C_1-C_8$  alkyl,  $C_1-C_8$  substituted alkyl,  $C_6-C_{20}$  aryl,  $C_6-C_{20}$  substituted aryl,  $C_2-C_{20}$  heteroaryl, and  $C_2-C_{20}$  substituted heteroaryl, polyethyleneoxy, phosphonate, phosphate, and a prodrug moiety;

and the tautomers, salts, solvates, resolved enantiomers and purified diastereomers thereof.